



# ***STIC Search Report***

## ***Biotech-Chem Library***

**STIC Database Tracking Number: 157203**

**TO: Deborah Lambkin**

**Location:**

**Art Unit: 1626**

**June 22, 2005**

**Case Serial Number: 10/807919**

**From: P. Sheppard**

**Location: Remsen Building**

**Phone: (571) 272-2529**

**sheppard@uspto.gov**

### **Search Notes**

Access DB# 157203**SEARCH REQUEST FORM**

Scientific and Technical Information Center

Requester's Full Name: Debnah Lombini Examiner #: 71700 Date: 6/22/05  
 Art Unit: 1626 Phone Number 30-2-0698 Serial Number: 10/807,919  
 Mail Box and Bldg/Room Location: \_\_\_\_\_ Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

\*\*\*\*\*

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

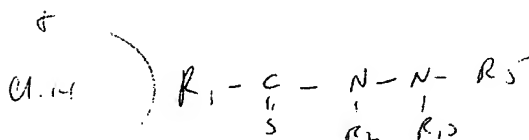
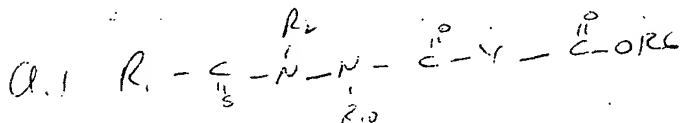
Title of Invention: Synthesis of TARD Enhancers  
 Inventors (please provide full names): Chen et al

Earliest Priority Filing Date: \_\_\_\_\_

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Paula Please search compounds of

Cl 1 + 14.



Thanks per

Claims attached.

**STAFF USE ONLY**

	Type of Search	Vendors and cost where applicable
Searcher: <u>Sheppard</u>	NA Sequence (#) _____	STN _____
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr.Link _____
Date Completed: <u>6/22/05</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: _____	Other _____	Other (specify) _____

=&gt; fil hcaplus

FILE 'HCAPLUS' ENTERED AT 10:00:32 ON 22 JUN 2005

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FILE COVERS 1907 - 22 Jun 2005 VOL 142 ISS 26

FILE LAST UPDATED: 21 Jun 2005 (20050621/ED)

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L1 STR

S $\equiv$ C $\sim$ N $\sim$ N

1 2 3 4

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

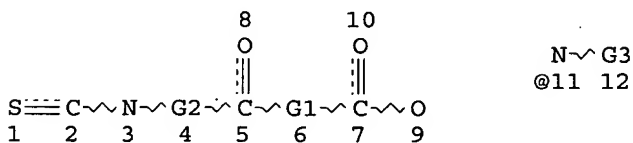
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE

L3 73491 SEA FILE=REGISTRY SSS FUL L1

L5 STR



REP G1=(0-20) C

VAR G2=NH/11

VAR G3=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED  
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STEREO ATTRIBUTES: NONE

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L11 STR

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1 2 3 4 @5 6

VAR G1=NH2/5

VAR G2=ME/ET/I-PR/N-PR/I-BU/N-BU/T-BU/S-BU

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 6

STEREO ATTRIBUTES: NONE

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L13 47 SEA FILE=HCAPLUS ABB=ON PLU=ON L6  
L14 6661 SEA FILE=HCAPLUS ABB=ON PLU=ON L12  
L15 5894 SEA FILE=HCAPLUS ABB=ON PLU=ON L14 AND PD=<JULY 1, 2001  
L16 79 SEA FILE=HCAPLUS ABB=ON PLU=ON L14 (L) (?PHARMA? OR ?MEDIC? OR  
?THERAP? OR ?DRUG?)  
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L19 40 SEA FILE=HCAPLUS ABB=ON PLU=ON L13 AND PD=<JULY 1, 2001  
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L22 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L21 AND L19  
L24 23 SEA FILE=HCAPLUS ABB=ON PLU=ON L17 AND PATENT/DT  
L25 30 SEA FILE=HCAPLUS ABB=ON PLU=ON L24 OR L22

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=> d ibib abs hitstr l25 1-30

L25 ANSWER 1 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:167851 HCAPLUS

DOCUMENT NUMBER: 134:198050

TITLE: Radiopharmaceutical products and their preparation  
procedure

INVENTOR(S): Bellande, Emmanuel; Jallet, Pierre; Denizot, Benoit

PATENT ASSIGNEE(S): Cis Bio International, Fr.

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001015746	A1	20010308	WO 2000-IB1161	20000823 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,  
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

FR 2797769	A1	20010302	FR 1999-10970	19990901 <--
FR 2797769	B1	20030725		
CA 2383517	AA	20010308	CA 2000-2383517	20000823 <--
BR 2000013729	A	20020507	BR 2000-13729	20000823
EP 1210127	A1	20020605	EP 2000-951784	20000823
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003508455	T2	20030304	JP 2001-520157	20000823
EE 200200105	A	20030415	EE 2002-105	20000823
NZ 517377	A	20030829	NZ 2000-517377	20000823
ZA 2002001057	A	20030506	ZA 2002-1057	20020206
BG 106438	A	20020930	BG 2002-106438	20020226
NO 2002001001	A	20020411	NO 2002-1001	20020228

PRIORITY APPLN. INFO.: FR 1999-10970 A 19990901  
 WO 2000-IB1161 W 20000823

OTHER SOURCE(S): MARPAT 134:198050

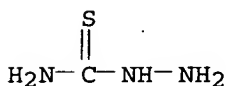
AB The present invention relates to radiopharmaceutical products and their preparation procedure. These products can be used for pulmonary scintigraphy or for therapy. They comprise a polysaccharide and sequestering groups of formulas R-NH-, R-N=, and R-N(R')N= in which R is a hydrocarbon or aromatic group comprising at least one atom of sulfur, and R' is an atom of hydrogen or an alkyl grouping such as Me, said sequestering groups forming a chelate type complex with a radioactive metal such as technetium.

IT 79-19-6DP, Hydrazinecarbothioamide, radiolabeled reaction product with oxidized starch 3766-55-0DP, 4-Allyl 3-thiosemicarbazide, radiolabeled reaction product with oxidized starch 5351-69-9DP, 4-Phenyl 3-thiosemicarbazide, radiolabeled reaction product with oxidized starch 5397-03-5DP, S-Methyl dithiocarbazate, radiolabeled reaction product with oxidized starch 6610-29-3DP, 4-Methyl 3-thiosemicarbazide, radiolabeled reaction product with oxidized starch 6926-58-5DP, 4,4-Dimethyl 3-thiosemicarbazide, radiolabeled reaction product with oxidized starch 20184-94-5DP, radiolabeled reaction product with oxidized starch

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (radiopharmaceutical kits for scintigraphy)

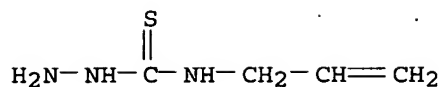
RN 79-19-6 HCAPLUS

CN Hydrazinecarbothioamide (9CI) (CA INDEX NAME)



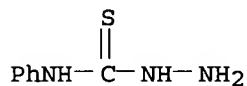
RN 3766-55-0 HCAPLUS

CN Hydrazinecarbothioamide, N-2-propenyl- (9CI) (CA INDEX NAME)



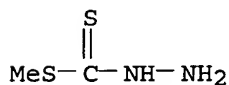
RN 5351-69-9 HCAPLUS

CN Hydrazinecarbothioamide, N-phenyl- (9CI) (CA INDEX NAME)



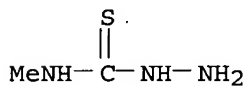
RN 5397-03-5 HCAPLUS

CN Hydrazinecarbodithioic acid, methyl ester (9CI) (CA INDEX NAME)



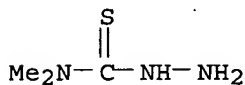
RN 6610-29-3 HCAPLUS

CN Hydrazinecarbothioamide, N-methyl- (9CI) (CA INDEX NAME)



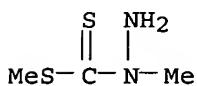
RN 6926-58-5 HCAPLUS

CN Hydrazinecarbothioamide, N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 20184-94-5 HCAPLUS

CN Hydrazinecarbodithioic acid, 1-methyl-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:655845 HCAPLUS

DOCUMENT NUMBER: 131:291269

TITLE: In vivo binding pair pretargeting with antibodies and methotrexate analogs

INVENTOR(S): Pomato, Nicholas; McCabe, Richard P.; Hawkins, Gregory A.; Bredehorst, Reinhard; Kim, Chong-Ho; Vogel, Carl-Wilhelm  
 PATENT ASSIGNEE(S): Perimmune Holdings, Inc., USA  
 SOURCE: U.S., 76 pp., Cont.-in-part of U.S. 5,578,289.  
 CODEN: USXXAM.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5965106	A	19991012	US 1995-461267	19950605 <--
US 5578289	A	19961126	US 1993-140186	19931104 <--
PRIORITY APPLN. INFO.:			US 1992-846453	B2 19920304
			US 1993-140186	A2 19931104
			WO 1993-US1858	.W 19930303

AB A method for in-vivo targeting a functional moiety in a patient by administering a targeting moiety coupled to an affinity component, wherein the targeting moiety has affinity for binding sites in a target area, and administering a binding partner to the affinity component coupled to a functional moiety to localize the functional moiety in the target area is disclosed. Preferably the targeting moiety is an antibody and the functional moiety is a radiometal when performing in vivo imaging or therapy. The affinity component may be a novel methotrexate analog. Preferably, the affinity component is thermo-stabilized.

IT 246154-67-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (in vivo binding pair pretargeting with antibodies and methotrexate analogs)

RN 246154-67-6 HCAPLUS

CN 3,5,7,10,14,17,19,21-Octaazatricosanedioic acid, 12-[[4-[[[2-[(4S)-4-carboxy-4-[[4-[[[(2,4-diamino-6-pteridiny]methyl]methylamino]benzoyl]amino]-1-oxobutyl]hydrazino]thioxomethyl]amino]phenyl]methyl]-3,5,7,17,19,21-hexakis(carboxymethyl)-9,15-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

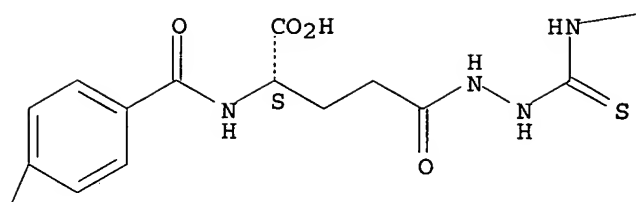
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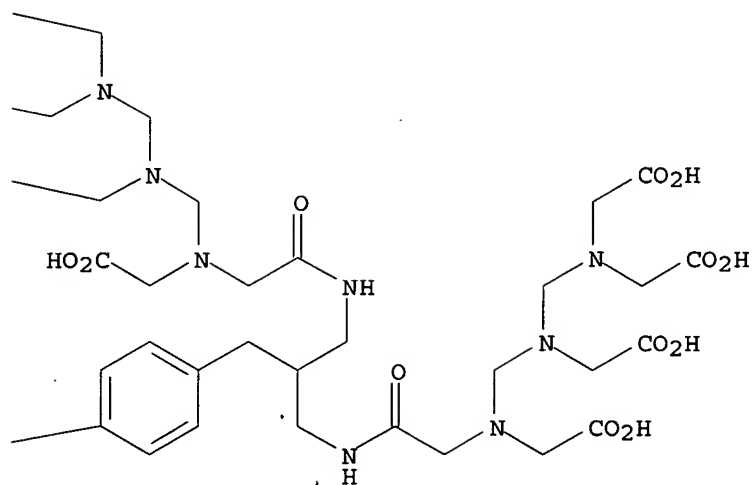
HO<sub>2</sub>C—

HO<sub>2</sub>C—

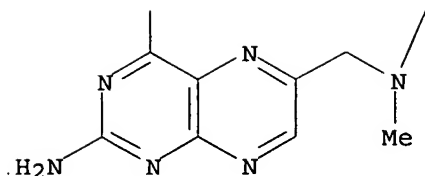
NH<sub>2</sub>



PAGE 1-B







REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:531015 HCAPLUS

DOCUMENT NUMBER: 131:184976

TITLE: Preparation of nitrogen-containing heterocyclic compounds on apoptosis inhibition

INVENTOR(S): Nakamura, Takeshi; Isoshima, Hirotaka; Maruhashi, Junji; Baba, Masanori

PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 85 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

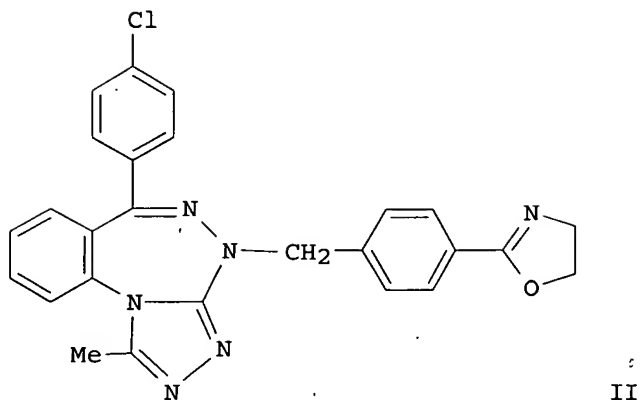
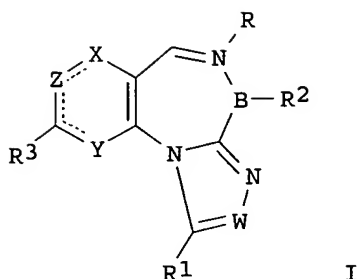
LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11228576	A2	19990824	JP 1997-365239	19971218 <--
PRIORITY APPLN. INFO.:			JP 1997-362071	A 19971210
OTHER SOURCE(S):	MARPAT	131:184976		
GI				



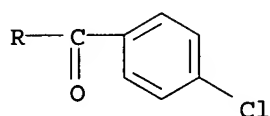
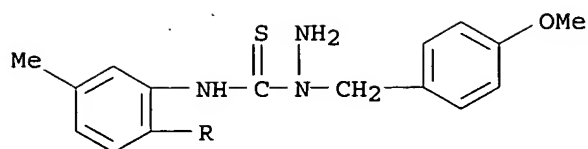
AB Title compds. [I; R = 4-ClC<sub>6</sub>H<sub>4</sub>, C<sub>6</sub>H<sub>5</sub>, C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub> 4-BrC<sub>6</sub>H<sub>4</sub>, 2-ClC<sub>6</sub>H<sub>4</sub>, 4-(CH<sub>3</sub>)<sub>3</sub>OCOC<sub>6</sub>H<sub>4</sub>, 4-MeOCOC<sub>6</sub>H<sub>4</sub>, 4-MeOC<sub>6</sub>H<sub>4</sub>, 4-HOCC<sub>6</sub>H<sub>4</sub>, 4-(CH<sub>3</sub>)<sub>3</sub>CONHC<sub>6</sub>H<sub>4</sub>, 4-H<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, 4-CH<sub>3</sub>N(C<sub>6</sub>H<sub>5</sub>)CONHC<sub>6</sub>H<sub>4</sub>; B = N, CH; W = CH, N; R<sub>1</sub> = H, CH<sub>3</sub>; R<sub>3</sub> = H, CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>; X = CH, electron pair; Z = CH, CH<sub>3</sub>C; Y = CH, S; R<sub>2</sub> = 4-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-CH<sub>3</sub>N(Ac)C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-CH<sub>3</sub>SO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-(CH<sub>3</sub>)<sub>2</sub>NCOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, (CH<sub>3</sub>CH<sub>2</sub>)<sub>2</sub>NCOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-MeOCOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-MeSC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, CHCHCH<sub>2</sub>, NCCH<sub>2</sub>, (MeO)<sub>2</sub>CH(CH<sub>2</sub>)<sub>2</sub>, 4-NO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-CNC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-BrC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 3,4-(Cl)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, 4-FC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-HOCC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-C<sub>6</sub>H<sub>5</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-arylC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>; dotted bond = single, double in relationship to X, Y, Z], pharmaceutical acceptable salts, and N-oxides are prepared and tested as Fas inhibitors in blocking the apoptosis on prevention and treatment of diseases such as antiviral drugs on AIDS. Thus, the title compound II was prepared

IT 200426-84-2P 200426-86-4P 200426-87-5P  
239126-38-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of heterocyclic compds. as antiviral **drugs**)

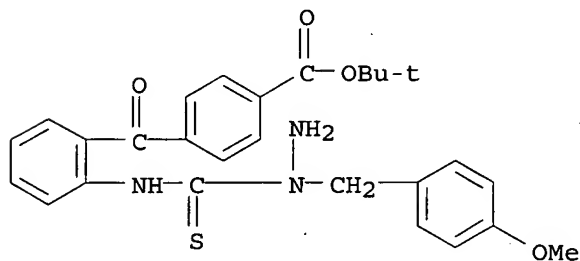
RN 200426-84-2 HCAPLUS

CN Hydrazinecarbothioamide, N-[2-(4-chlorobenzoyl)-5-methylphenyl]-1-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



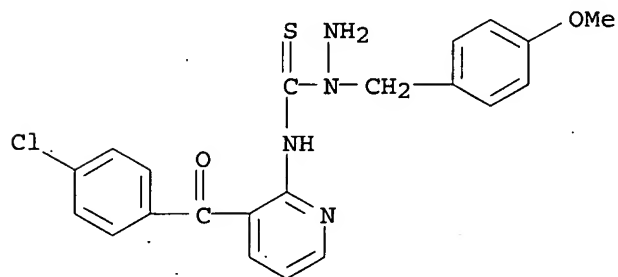
RN 200426-86-4 HCAPLUS

CN Benzoic acid, 4-[2-[[[1-[(4-methoxyphenyl)methyl]hydrazino]thioxomethyl]amino]benzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



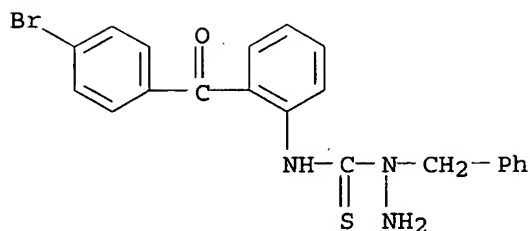
RN 200426-87-5 HCAPLUS

CN Hydrazinecarbothioamide, N-[3-(4-chlorobenzoyl)-2-pyridinyl]-1-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 239126-38-6 HCAPLUS

CN Hydrazinecarbothioamide, N-[2-(4-bromobenzoyl)phenyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L25 ANSWER 4 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:404960 HCAPLUS

DOCUMENT NUMBER: 131:58851

TITLE: Piperazine derivatives useful as hypoglycemic agents

INVENTOR(S): Bierer, Donald E.; Moinet, Gerard G.; Botton, Gerard; Dubenko, Larisa; Patereau, Gerard; Doare, Liliane; Kergoat, Micheline; Mesangeau, Didier; Lu, Qing

PATENT ASSIGNEE(S): Shaman Pharmaceuticals, Inc., USA; Lyonnaise Industrielle Pharmaceutique (LIPHA)

SOURCE: PCT Int. Appl., 420 pp.

CODEN: PIXXD2

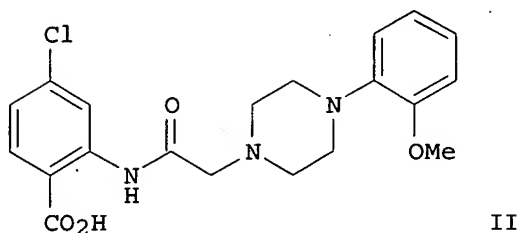
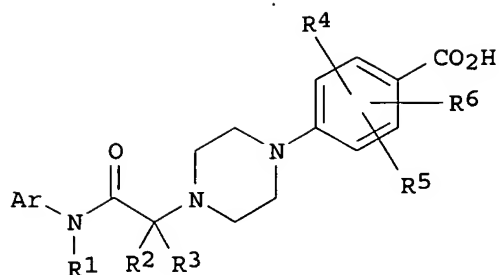
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931096	A1	19990624	WO 1998-US26851	19981218 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9919240	A1	19990705	AU 1999-19240	19981218 <--
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			WO 1998-US26851	W 19981218
OTHER SOURCE(S):			MARPAT 131:58851	
GI				



AB A variety of piperazine derivs. useful as antihyperglycemic agents, pharmaceutical compns. comprising them, and methods for their use are described. For example, compds. I are disclosed [wherein Ar = certain mono- and polycyclic aryl and heteroaryl groups; R1, R2, R3 = H, alkyl, alkoxyalkyl, cycloalkyl, aryl, heteroaryl, arylalkoxy, aryloxy, etc.; or ArNR1 = indolinyl, quinolyl, indolyl, or tetrahydroquinolyl; R4, R5, R6 = H, cycloalkyl, alkyl, alkoxy, halo, CF3, aryl, aryloxy, cyano, CO2H, OH, NH2, NO2, etc.]. The compds. are useful for the treatment of insulin-dependent diabetes mellitus (IDDM or Type I) and non-insulin dependent diabetes mellitus (NIDDM or Type II). For instance, coupling of 4-chloro-2-(chloroacetamido)benzoic acid with 1-(2-methoxyphenyl)piperazine in DMF in the presence of Et3N gave title compound II. Compds. I gave significant redns. of blood glucose in a variety of animal diabetes models.

IT 227958-66-9P 227958-71-6P 227958-74-9P

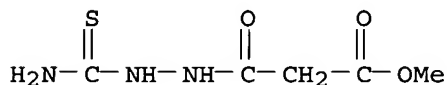
227958-76-1P 227958-79-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperazine derivs. with hypoglycemic activity)

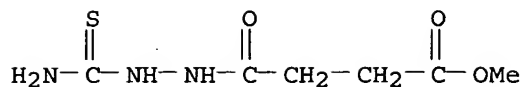
RN 227958-66-9 HCAPLUS

CN Propanedioic acid, monomethyl ester, 2-(aminothioxomethyl)hydrazide (9CI)  
(CA INDEX NAME)

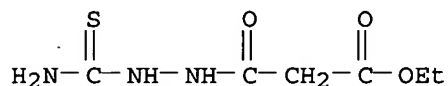


RN 227958-71-6 HCAPLUS

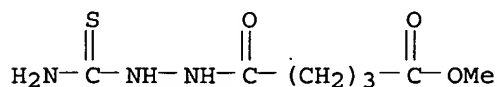
CN Butanedioic acid, monomethyl ester, 2-(aminothioxomethyl)hydrazide (9CI)  
(CA INDEX NAME)



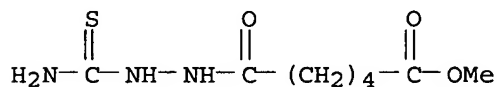
RN 227958-74-9 HCAPLUS

CN Propanedioic acid, monoethyl ester, 2-(aminothioxomethyl)hydrazide (9CI)  
(CA INDEX NAME)

RN 227958-76-1 HCAPLUS

CN Pentanedioic acid, monomethyl ester, 2-(aminothioxomethyl)hydrazide (9CI)  
(CA INDEX NAME)

RN 227958-79-4 HCAPLUS

CN Hexanedioic acid, monomethyl ester, 2-(aminothioxomethyl)hydrazide (9CI)  
(CA INDEX NAME)REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:193845 HCAPLUS

DOCUMENT NUMBER: 130:247055

TITLE: Protein tyrosine phosphatase inhibitors for modulating  
signal transduction, pharmaceutical compositions, and  
therapeutic use

INVENTOR(S): Tang, Peng Cho; McMahon, Gerald

PATENT ASSIGNEE(S): Sugan, Inc., USA

SOURCE: U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 481,954.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5883110	A	19990316	US 1996-660900	19960607 <--
US 5798374	A	19980825	US 1995-481954	19950607 <--
AU 9662671	A1	19961219	AU 1996-62671	19960607 <--
AU 697649	B2	19981015		
WO 9640129	A1	19961219	WO 1996-US9795	19960607 <--

W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IL, IS, JP, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CN 1184635	A	19980617	CN 1996-121386	19961213 <--
US 6080772	A	20000627	US 1997-988833	19971211 <--
US 6143765	A	20001107	US 1998-120346	19980721 <--

PRIORITY APPLN. INFO.:

US 1995-481954	A2 19950607
US 1996-660900	A2 19960606
WO 1996-US9795	W 19960607
US 1996-33522P	P 19961219

OTHER SOURCE(S): MARPAT 130:247055

AB Organic mols. capable of inhibiting protein tyrosine phosphatase activity are disclosed. The invention further relates to the use of such mols. to modulate or regulate signal transduction by inhibiting protein tyrosine phosphatase activity. Finally, the invention relates to the use of such mols. to treat various disease states including various cancers and diabetes mellitus.

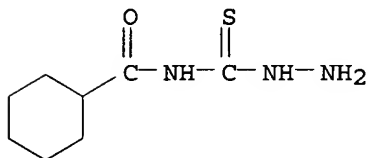
IT 209670-92-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction; protein tyrosine phosphatase inhibitors for modulating signal transduction, **pharmaceutical** compns., and **therapeutic** use)

RN 209670-92-8 HCAPLUS

CN Cyclohexanecarboxamide, N-(hydrazinothioxomethyl)- (9CI) (CA INDEX NAME)



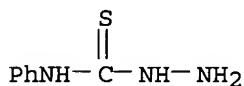
IT 5351-69-9, 4-Phenyl-3-thiosemicarbazide 71058-32-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction; protein tyrosine phosphatase inhibitors for modulating signal transduction, **pharmaceutical** compns., and **therapeutic** use)

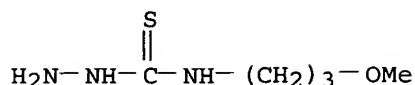
RN 5351-69-9 HCAPLUS

CN Hydrazinecarbothioamide, N-phenyl- (9CI) (CA INDEX NAME)



RN 71058-32-7 HCAPLUS

CN Hydrazinecarbothioamide, N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 6 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:424258 HCAPLUS

DOCUMENT NUMBER: 129:103406

TITLE: Preparation of radioactive technetium and rhenium nitride heteroatom containing mixed ligand complexes for radioimaging and radiotherapy

INVENTOR(S): Duatti, Adriano; Bolzati, Cristina; Uccelli, Licia; Refosco, Fiorenzo; Tisato, Francesco

PATENT ASSIGNEE(S): Nihon Medi-Physics Co., Ltd., Japan; Duatti, Adriano; Bolzati, Cristina; Uccelli, Licia; Refosco, Fiorenzo; Tisato, Francesco

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

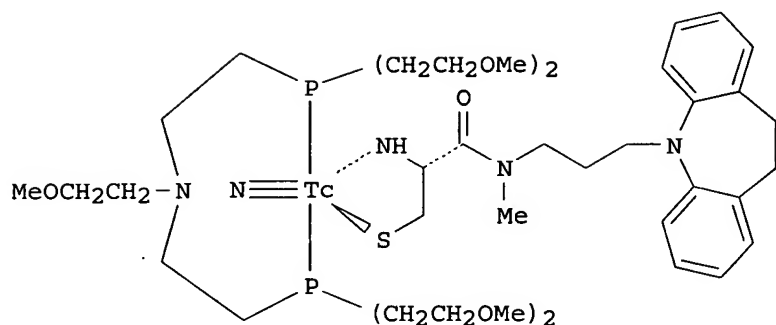
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827100	A1	19980625	WO 1997-JP4626	19971216 <--
W: AU, CA, JP, KR, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2275451	AA	19980625	CA 1997-2275451	19971216 <--
AU 9854128	A1	19980715	AU 1998-54128	19971216 <--
AU 730120	B2	20010222		
EP 949265	A1	19991013	EP 1997-947953	19971216 <--
EP 949265	B1	20030507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 335950	A	20000623	NZ 1997-335950	19971216 <--
AT 239745	E	20030515	AT 1997-947953	19971216
PT 949265	T	20030829	PT 1997-947953	19971216
ES 2193407	T3	20031101	ES 1997-947953	19971216
KR 2000057661	A	20000925	KR 1999-705482	19990617 <--
US 6270745	B1	20010807	US 1999-331237	19990617
US 2002048549	A1	20020425	US 2001-838254	20010716
PRIORITY APPLN. INFO.:			JP 1996-338553	A 19961218
			WO 1997-JP4626	W 19971216
			US 1999-331237	A1 19990617

OTHER SOURCE(S): MARPAT 129:103406

GI





I

AB Claimed are radioactive transition metal nitride hetero-complexes which can label physiol. active substances such as peptides or hormones without impairing the activities thereof. It is composed of a radioactive transition metal nitride and two different ligands coordinating to the nitride, and is represented by the following general formula (M.tplbond.N)XY (wherein the radioactive transition metal, M, is radioactive technetium or rhenium; N is nitrogen; X is a diphosphine compound or a diarsine compound; and Y is a bidentate ligand having a combination of electron-donating atoms). The diphosphine compound X is represented by formula R1R2P(R5)n(Z)m(R5)nPR3R4 [R1, R2, R3, and R4 are hydrogen or (un)substituted alkyl or substituted aryl; R5 is CH2; Z is O, S, CH2, OCH2CH2O, or NR6; wherein R6 is H, (un)substituted alkyl or aryl, NH2, amino acid chain, physiol. active group, COR7; wherein R7 is H, (un)substituted alkyl or aryl, NH2, or physiol. active group]. The bidentate ligand Y is a sugar, amino acid, fatty acid, hormone, peptide, or receptor binding ligand. The radioactive transition metal nitride hetero-complexes are useful as diagnostic agents for radioimaging and as drugs for radiotherapy. Thus, 99TcO4Na (50.0 MBq-3.0 GBq) and EtOH were added successively to a suspension of 5 mg succinic dihydrazide and 0.1 mg SnCl2 in physiol. saline solution and kept at room temperature for 15 min. A solution

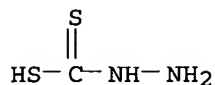
of 3.0 mg Ph2PCH2CH2NCH2CH2PPh2 in EtOH and a solution of 5.0 mg N-cysteinyl-desipramine in H2O were added and the resulting mixture was heated at 100° for 30 min to give the title compound (I) (≤90% radiochem. purity). When I was injected to rat, it showed considerable accumulation in heart, very high accumulation in adrenal gland, and specific accumulation in the cerebral cortex, indicating the it retained the specificity for serotonin receptor.

IT 471-32-9DP, Dithiocarbazic acid, technetium-99 and rhenium-186 and -188 complexes 5397-03-5DP, technetium-99 and rhenium-186 and -188 complexes 20184-94-5DP, technetium-99 and rhenium-186 and -188 complexes 209522-78-1DP, technetium-99 and rhenium-186 and -188 complexes 209522-79-2DP, technetium-99 and rhenium-186 and -188 complexes

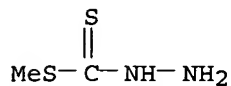
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of radioactive transition metal nitride hetero-complexes as diagnostic agents for radioimaging and as drugs for radiotherapy)

RN 471-32-9 HCAPLUS

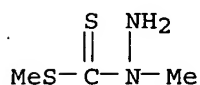
CN Hydrazinecarbodithioic acid (9CI) (CA INDEX NAME)



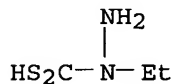
RN 5397-03-5 HCAPLUS  
CN Hydrazinecarbodithioic acid, methyl ester (9CI) (CA INDEX NAME)



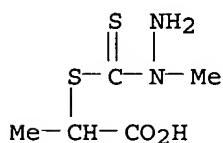
RN 20184-94-5 HCAPLUS  
CN Hydrazinecarbodithioic acid, 1-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 209522-78-1 HCAPLUS  
CN Hydrazinecarbodithioic acid, 1-ethyl- (9CI) (CA INDEX NAME)

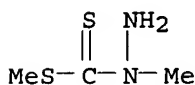


RN 209522-79-2 HCAPLUS  
CN Propanoic acid, 2-[[[(1-methylhydrazino)thioxomethyl]thio]- (9CI) (CA INDEX NAME)



IT 20184-94-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of radioactive transition metal nitride hetero-complexes as diagnostic agents for radioimaging and as **drugs** for **radiotherapy**)

RN 20184-94-5 HCAPLUS  
CN Hydrazinecarbodithioic acid, 1-methyl-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 7 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:219743 HCAPLUS

DOCUMENT NUMBER: 128:289393

TITLE: A method for the reduction of oxygenated compounds of rhenium or technetium

INVENTOR(S): Duatti, Adriano; Bolzati, Cristina; Uccelli, Licia; Franceschini, Rodolfo; Boschi, Alessandra

PATENT ASSIGNEE(S): Sorin Radiofarmaci S.R.L., Italy; Duatti, Adriano; Bolzati, Cristina; Uccelli, Licia; Franceschini, Rodolfo; Boschi, Alessandra

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9814219	A2	19980409	WO 1997-EP5448	19971003 <--
WO 9814219	A3	19980618		
W: CA, HU, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1028755	A2	20000823	EP 1997-911174	19971003 <--
R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE, IE, FI				
JP 2001501616	T2	20010206	JP 1998-516250	19971003 <--
US 6127530	A	20001003	US 1999-269898	19990604 <--
PRIORITY APPLN. INFO.:			IT 1996-TO805	A 19961003
			WO 1997-EP5448	W 19971003
			WO 1997-EP5488	W 19971003

AB A method for the reduction of oxygenated compds. of Re or Tc with a reducing agent, wherein the reduction reaction is carried out in the presence of a macromol. compound selected from the group consisting of cyclic oligosaccharides, crown ethers and cryptands, wherein said macromol. compound is effective to displace the equilibrium of the reduction reaction toward

the formation of the reduced species of said oxygenated compound The reduction reaction is preferably carried out in the presence of a ligand which can form a complex with Re or Tc to provide Tc or Re radiopharmaceuticals. Thus, to a vial containing dimercaptosuccinic acid,  $\gamma$ -cyclodextrin, and potassium oxalate were added SnCl<sub>2</sub> dissolved in aqueous acetic acid and saline. To the resulting solution was added 188ReO<sub>4</sub><sup>-</sup> eluted from a generator to form the final complex [188ReO(DMSA)<sub>2</sub>]<sup>-</sup> with a radiochem. yield >95%.

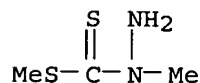
IT 20184-94-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(reduction of perrhenate and pertechnetate in presence of macromol. catalysts for preparation of radiopharmaceuticals)

RN 20184-94-5 HCAPLUS

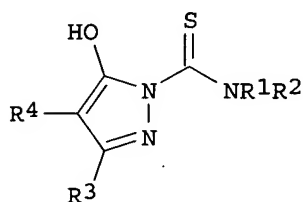
CN Hydrazinecarbodithioic acid, 1-methyl-, methyl ester (9CI) (CA INDEX NAME)



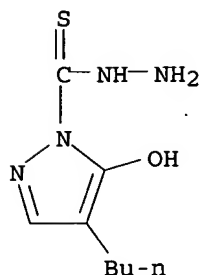
L25 ANSWER 8 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:640250 HCAPLUS  
 DOCUMENT NUMBER: 127:331482  
 TITLE: Preparation of 1-thiocarbamoyl-5-hydroxypyrazoles as agrochemical and medical microbicides  
 INVENTOR(S): Wachtler, Peter; Heuer, Lutz; Kugler, Martin; Schrage, Heinrich  
 PATENT ASSIGNEE(S): Bayer A.-G., Germany  
 SOURCE: U.S., 28 pp., Cont.-in-part of U.S. 5,510,365.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

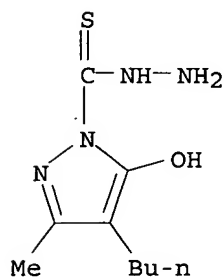
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5672617	A	19970930	US 1996-598878	19960209 <--
DE 4411243	A1	19951005	DE 1994-4411243	19940331 <--
DE 4414792	A1	19950216	DE 1994-4414792	19940428 <--
US 5510365	A	19960423	US 1994-286080	19940804 <--
DE 19510058	A1	19960926	DE 1995-19510058	19950320 <--
PRIORITY APPLN. INFO.:			DE 1993-4326904	A 19930811
			DE 1994-4411243	A 19940331
			DE 1994-4414792	A 19940428
			US 1994-286080	A2 19940804
			DE 1995-19510058	A 19950320
OTHER SOURCE(S):		MARPAT 127:331482		
GI				



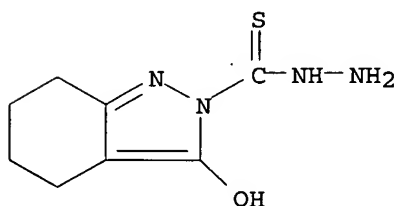
AB Title compds. I [R1,R2 = H, (ar)alkyl, aryl, etc.; R1 = H and R2 = NH2; R3,R4 = H, (ar)alkyl, alkoxy, (hetero)aryl, etc.; R3R4 = atoms to form a ring] were prepared Thus, BuCH(CHO)CO2Et was cyclocondensed with H2NNHCSNH2 to give I (R1-R3 = H, R4 = Bu). Data for biol. activity of I were given.  
 IT 161866-43-9P 161866-44-0P 161866-45-1P  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 1-thiocarbamoyl-5-hydroxypyrazoles as agrochem. and medical microbicides)  
 RN 161866-43-9 HCAPLUS  
 CN 1H-Pyrazole-1-carbothioic acid, 4-butyl-5-hydroxy-, hydrazide (9CI) (CA INDEX NAME)



RN 161866-44-0 HCAPLUS  
 CN 1H-Pyrazole-1-carbothioic acid, 4-butyl-5-hydroxy-3-methyl-, hydrazide  
 (9CI) (CA INDEX NAME)



RN 161866-45-1 HCAPLUS  
 CN 2H-Indazole-2-carbothioic acid, 4,5,6,7-tetrahydro-3-hydroxy-, hydrazide  
 (9CI) (CA INDEX NAME)



L25 ANSWER 9 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1997:119199 HCAPLUS  
 DOCUMENT NUMBER: 126:131780  
 TITLE: Preparation of radiometal-binding analogs of  
 luteinizing hormone releasing hormone  
 INVENTOR(S): Mcbride, William J.; Karacay, Habibe; Griffiths, Gary  
 L.  
 PATENT ASSIGNEE(S): Immunomedics, Inc., USA  
 SOURCE: PCT Int. Appl., 58 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9640756	A1	19961219	WO 1996-US8695	19960607 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5753206	A	19980519	US 1995-474555	19950607 <--
CA 2223432	AA	19961219	CA 1996-2223432	19960607 <--
AU 9661501	A1	19961230	AU 1996-61501	19960607 <--
AU 712968	B2	19991118		
EP 836618	A1	19980422	EP 1996-919063	19960607 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11513977	T2	19991130	JP 1996-501203	19960607 <--
US 37710	E	20020521	US 2000-572339	20000518
PRIORITY APPLN. INFO.:			US 1995-474555	A 19950607
			WO 1996-US8695	W 19960607

OTHER SOURCE(S): MARPAT 126:131780

AB Peptide derivs. of LH-RH that are capable of binding radionuclides are provided. The peptide derivs. are readily labeled with isotopes of rhenium or technetium, while retaining their ability to tightly bind LH-RH receptors. Methods for preparing the labeled peptides and their use in methods of radiodiagnosis and radiotherapy are described. Thus, pGlu-His-Trp-Ser-Tyr-Lys(HSCH<sub>2</sub>CO-Gly-Cys)-Leu-Arg-Pro-Gly-NH<sub>2</sub> was prepared by standard solid-phase methods using 9-fluorenylmethoxycarbonyl (Fmoc) chemical

and radiolabeled with Na<sup>99m</sup>TcO<sub>4</sub> or Na<sup>188</sup>ReO<sub>4</sub>. Prepared radiolabeled LH-RH analogs were tested for receptor binding in vitro and also evaluated for biodistribution in mice.

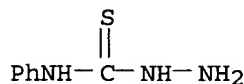
IT 5351-69-9, 4-Phenyl-3-thiosemicarbazide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of LH-RH radiometal-binding analogs and their use in radiodiagnosis and radiotherapy)

RN 5351-69-9 HCAPLUS

CN Hydrazinecarbothioamide, N-phenyl- (9CI) (CA INDEX NAME)



L25 ANSWER 10 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:708046 HCAPLUS

DOCUMENT NUMBER: 125:321863

TITLE: Diagnostic agent for hypoxia or mitochondrial dysfunction comprising radioactive copper complex of dithiosemicarbazone derivative or diamine diol Schiff base derivative

INVENTOR(S): Fujibayashi, Yasuhisa; Yokoyama, Akira

PATENT ASSIGNEE(S): Nihon Medi-Physics Co., Ltd., Japan

SOURCE: Can. Pat. Appl., 37 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2166676	AA	19960710	CA 1996-2166676	19960105 <--
JP 08245425	A2	19960924	JP 1995-349735	19951221 <--
AU 9640856	A1	19960718	AU 1996-40856	19960108 <--
AU 702169	B2	19990218		
EP 726077	A2	19960814	EP 1996-300127	19960108 <--
EP 726077	A3	19970611		
EP 726077	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 210468	E	20011215	AT 1996-300127	19960108
ES 2169207	T3	20020701	ES 1996-300127	19960108
US 5843400	A	19981201	US 1996-584300	19960111 <--
PRIORITY APPLN. INFO.:			JP 1995-17504	A 19950109

OTHER SOURCE(S): MARPAT 125:321863

AB The present invention relates to a diagnostic agent for hypoxia or mitochondrial dysfunction comprising a radioactive copper complex of a dithiosemicarbazone derivative or a radioactive copper complex of a diamine diol Schiff base derivative. The diagnostic agent according to the present invention has a good transferability to the target tissue, e.g., brain, heart, tumor, etc. of a mammal, reduction reaction affinity at a hypoxic site, high stability in a non-target site, and rapid disappearance ability therefrom. Preferred diagnostic agents are:  $^{62}\text{Cu}$ -diacetyl bis(N4-methylthiosemicarbazone),  $^{62}\text{Cu}$ -pyruvaldehyde bis(N4-dimethylthiosemicarbazone),  $^{62}\text{Cu}$ -disalicylaldehyde-2,2-dimethyl-1,3-propanediamine, and  $^{62}\text{Cu}$ -diacetylacetone ethylenediamine.

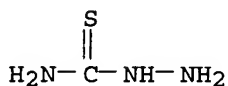
IT 79-19-6, Thiosemicarbazide 6610-29-3 6926-58-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(copper complex **radiopharmaceuticals** for hypoxia or mitochondria dysfunction diagnosis)

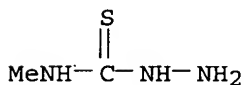
RN 79-19-6 HCAPLUS

CN Hydrazinecarbothioamide (9CI) (CA INDEX NAME)



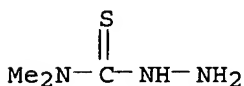
RN 6610-29-3 HCAPLUS

CN Hydrazinecarbothioamide, N-methyl- (9CI) (CA INDEX NAME)



RN 6926-58-5 HCAPLUS

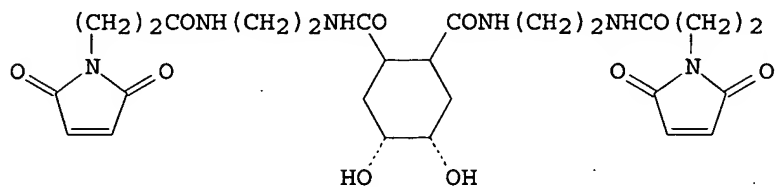
CN Hydrazinecarbothioamide, N,N-dimethyl- (9CI) (CA INDEX NAME)



L25 ANSWER 11 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1994:215313 HCAPLUS  
 DOCUMENT NUMBER: 120:215313  
 TITLE: Vicinal diol linking agents for antibody fragments and therapeutic agents  
 INVENTOR(S): Frazier, Kevin A.; Schott, Margaret E.  
 PATENT ASSIGNEE(S): Dow Chemical Co., USA  
 SOURCE: U.S., 18 pp. Cont.-in-part of U.S. Ser. No. 478,286, abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5274119	A	19931228	US 1991-677936	19910401 <--
PRIORITY APPLN. INFO.:			US 1988-214247	B1 19880701
			US 1990-478286	B2 19900209

OTHER SOURCE(S): MARPAT 120:215313  
 GI



AB A group of functionalized linking agents are disclosed. The linking agents contain thiol-reactive functionalities for covalent reaction with sulfhydryl groups from the hinge region of antibody fragments. The linking agents also contain masked aldehyde functionalities for covalent attachment of amine-containing therapeutic agents by Schiff base formation. Carrier systems capable of delivering compds. to targeted sites in vivo based on antigen-antibody interactions are constructed from these linking agents. Thus, maleimido linking agent I was prepared and used to further prepare a Fab-105Rh chelate mol. Preparation of the chelate [105Rh complex with

6-(4-aminophenyl)methyl-1,4,8,11-tetraazoundecane] is also included.

IT 153986-74-4DP, reaction products with antibody fragment-diol linker conjugate

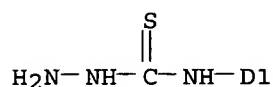
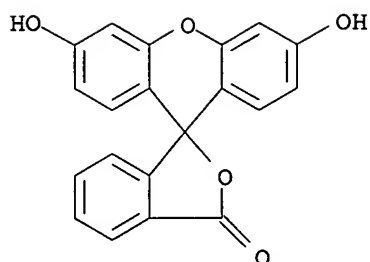
RL: PREP (Preparation)

(preparation of, targeted antibody-therapeutic conjugate preparation in relation to)

RN 153986-74-4 HCAPLUS

CN Hydrazinecarbothioamide, N-(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-ar-yl)- (9CI) (CA INDEX NAME)



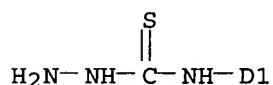
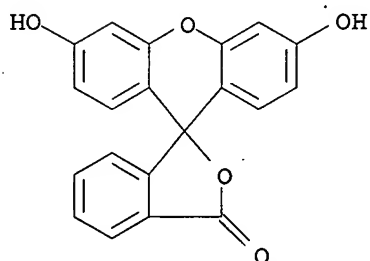


IT 153986-74-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in linker preparation for antibody fragment-  
therapeutic conjugate preparation)

RN 153986-74-4 HCAPLUS

CN Hydrazinecarbothioamide, N-(3',6'-dihydroxy-3-oxospiro[isobenzofuran-  
1(3H),9'-[9H]xanthen]-ar-yl)- (9CI) (CA INDEX NAME)



L25 ANSWER 12 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:423788 HCAPLUS

DOCUMENT NUMBER: 119:23788

TITLE: Brain-tropic radiopharmaceutical compounds comprising  
a transition metal nitride complex, and preparation  
method therefor

INVENTOR(S): Pasqualini, Roberto; Bellande, Emmanuel; Comazzi,  
Veronique; Laine, Jacques

PATENT ASSIGNEE(S): Cis Bio International, Fr.

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

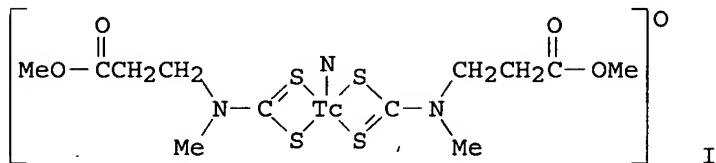
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9301839	A1	19930204	WO 1992-FR718	19920722 <--
W: AU, CA, JP, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
FR 2679452	A1	19930129	FR 1991-9231	19910722 <--
FR 2679452	B1	19931112		
CA 2113830	AA	19930123	CA 1992-2113830	19920722 <--
AU 9224322	A1	19930223	AU 1992-24322	19920722 <--
AU 662351	B2	19950831		
EP 596037	A1	19940511	EP 1992-917875	19920722 <--
EP 596037	B1	20010502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 07500816	T2	19950126	JP 1992-502648	19920722 <--
AT 200867	E	20010515	AT 1992-917875	19920722 <--
ES 2157905	T3	20010901	ES 1992-917875	19920722
US 5496929	A	19960305	US 1994-178244	19940519 <--
GR 3036304	T3	20011031	GR 2001-401154	20010731
PRIORITY APPLN. INFO.:			FR 1991-9231	A 19910722
			WO 1992-FR718	A 19920722
OTHER SOURCE(S):			MARPAT 119:23788	
GI				

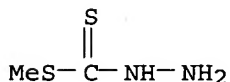


AB The title brain-tropic radiopharmaceutical compds. comprise (M.tplbond.N)L1L2 [M = transition metal; L1, L2 = R1(V)lX(=S) (S-) (W)n(R2)m; R1, R2 = (un)substituted C1-10 alkyl; V, W = O, S, Se; l, m, n = 0, 1; X = NC, C, P, As]. I was prepared by reacting Na (99mTc)pertechnetate with tin(II) chloride and Na pyrophosphate, reacting the product with Na N-ethylene-(2-carboxylate), N-Me dithiocarbamate, and then with MeI. In monkeys, I gave high brain:muscle ratios.

IT 5397-03-5, S-Methyldithiocarbamate 131815-34-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of transition metal nitride complex as brain-tropic radiopharmaceutical)

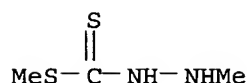
RN 5397-03-5 HCAPLUS

CN Hydrazinecarbodithioic acid, methyl ester (9CI) (CA INDEX NAME)



RN 131815-34-4 HCAPLUS

CN Hydrazinecarbodithioic acid, 2-methyl-, methyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 13 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:507443 HCAPLUS

DOCUMENT NUMBER: 117:107443

TITLE: Nitrido complexes of transition metal radioisotopes as radiopharmaceuticals and radiodiagnostic agents

INVENTOR(S): Pasqualini, Roberto; Comazzi, Veronique; Bellande, Emmanuel

PATENT ASSIGNEE(S): Cis Bio International, Fr.

SOURCE: Fr. Demande, 34 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2664166	A1	19920110	FR 1990-8473	19900704 <--
FR 2664166	B1	19941216		
CA 2086426	AA	19920105	CA 1991-2086426	19910703 <--
CA 2086426	C	20040615		
WO 9200982	A1	19920123	WO 1991-FR536	19910703 <--
W: AU, CA, JP, SU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
AU 9181054	A1	19920204	AU 1991-81054	19910703 <--
EP 537242	A1	19930421	EP 1991-912410	19910703 <--
EP 537242	B1	19940413		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05508842	T2	19931209	JP 1991-511871	19910703 <--
JP 3097755	B2	20001010		
AT 104303	E	19940415	AT 1991-912410	19910703 <--
ES 2053330	T3	19940716	ES 1991-912410	19910703 <--
US 5399339	A	19950321	US 1993-965250	19930121 <--
PRIORITY APPLN. INFO.:				
			FR 1990-8473	A 19900704
			EP 1991-912410	A 19910703
			WO 1991-FR536	A 19910703

AB O-containing aamTc, 186Re or 188Re compds. are reacted with a N-containing ligand,

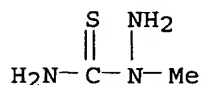
such as Na<sub>3</sub> N or S-Me N-methyldithiocarbamate (I) in the presence of a reducing agent, such as a Sn(II) salt or a dithionite. The product is usable for the preparation of radiopharmaceuticals or radiodiagnostic agents, by reaction with a 2nd ligand, preferably Na dithiocarbamate. A solution of Na pertechnetate-99mTc (0.5-3 mL; 0.5-100 m Ci) was treated with 1 mL 0.1-0.5M phosphate buffer (pH 7.4-8.0), 0.1-0.5 mL I solution (2.7 mg/mL) and 0.1-0.3 mL aqueous 1.8-10<sup>-3</sup> mol SnCl<sub>2</sub>·2H<sub>2</sub>O/L solution containing 5.6 + 10<sup>-2</sup> mol Na pyrophosphate/L. The product was treated with 0.2 mL 1,2-diaminopropane-N,N,N',N'-tetraacetic acid solution (0.33 mol/L) and 0.5 mL Na diethyldithiocarbamate solution (4 + 10<sup>-2</sup> mol/L) to give a complex with affinity for the myocardium. The method also allows for complexing with monoclonal antibodies.

IT 6938-68-7D, complexes with technetium-99m and sodium diethyldithiocarbamate 131815-34-4D, complexes with technetium-99m and sodium diethyldithiocarbamate

RL: BIOL (Biological study)  
(**radiopharmaceutical** and radiodiagnostic agents)

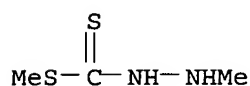
RN 6938-68-7 HCAPLUS

CN Hydrazinecarbothioamide, 1-methyl- (9CI) (CA INDEX NAME)



RN 131815-34-4 HCAPLUS

CN Hydrazinecarbodithioic acid, 2-methyl-, methyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 14 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:536129 HCAPLUS

DOCUMENT NUMBER: 115:136129

TITLE: Preparation of triazolylalkylidenecarbothiohydrazides and triazolotetrazepinethiones as drugs

INVENTOR(S): Reiter, Jozsef; Barkoczy, Jozsef; Petocz, Lujza; Gorgenyi, Frigyes; Fekete, Marton; Szirt, Eniko; Gigler, Gabor; Gacsalyi, Istvan; Gyertyan, Istvan; Reiter, Klara

PATENT ASSIGNEE(S): EGIS Gyogyszergyar, Hung.

SOURCE: Eur. Pat. Appl., 43 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

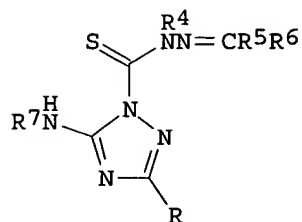
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

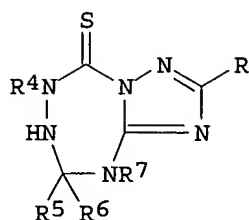
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 425282	A2	19910502	EP 1990-311689	19901025 <--
EP 425282	A3	19911016		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
HU 57212	A2	19911128	HU 1989-5429	19891025 <--
HU 205357	B	19920428		
HU 59111	A2	19920428	HU 1989-5427	19891025 <--
HU 206094	B	19920828		
CA 2028610	AA	19910426	CA 1990-2028610	19901025 <--
CN 1051174	A	19910508	CN 1990-108620	19901025 <--
ZA 9008559	A	19910828	ZA 1990-8559	19901025 <--
JP 03209369	A2	19910912	JP 1990-286015	19901025 <--
US 5135928	A	19920804	US 1990-604486	19901025 <--
IN 171609	A	19921121	IN 1990-MA852	19901025 <--
PL 164805	B1	19941031	PL 1990-287505	19901025 <--
PRIORITY APPLN. INFO.:			HU 1989-5427	A 19891025
			HU 1989-5429	A 19891025

OTHER SOURCE(S): MARPAT 115:136129

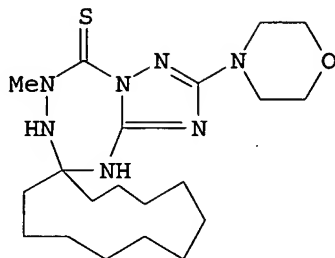
GI



I



II



III

AB Title compds. I and II [R = H, (alkyl)heterocyclyl, SR1 [R1 = (phenyl)alkyl] NR2R3 [R2, R3 = H, (phenyl)alkyl, alkenyl]; R4, R7 = H, (halo)(phenyl)alkyl; R5, R6 = H, (alkoxycarbonyl)alkyl, heterocyclic group, (substituted) Ph; R5R6 = alkylene; CR5R6 = phenylalkyl-substituted heterocyclic group] were prepared Thus, 1-(5-amino-3-morpholino-1H-1,2,4-triazol-1-yl)-N-methylcarbothiohydrazide was refluxed for 8 h in EtOH/cyclododecanone to give 63% title compound III. III has an ED50 of 1.0 mg/kg i.v. for prevention of vasopressin-induced coronary insufficiency in rats, vs. 6.5 mg/kg i.v. for prenylamine. I are also useful as antiinflammatory ulcer inhibitors, sedatives, etc. Drug formulations containing various I are given.

IT 135857-22-6 135857-23-7 135857-24-8

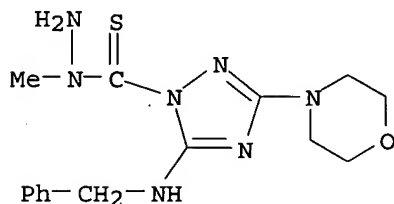
135857-25-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(condensation of, with aldehydes, in preparation of drug)

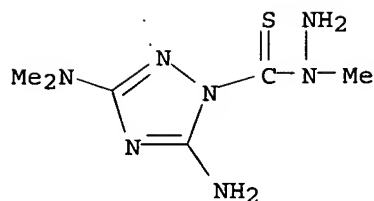
RN 135857-22-6 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 3-(4-morpholinyl)-5-[(phenylmethyl)amino]-, 1-methylhydrazide (9CI) (CA INDEX NAME)



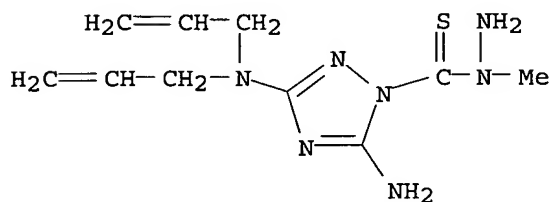
RN 135857-23-7 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(dimethylamino)-, 1-methylhydrazide (9CI) (CA INDEX NAME)



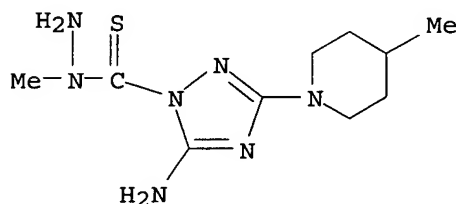
RN 135857-24-8 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(di-2-propenylamino)-, 1-methylhydrazide (9CI) (CA INDEX NAME)



RN 135857-25-9 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(4-methyl-1-piperidiny)-, 1-methylhydrazide (9CI) (CA INDEX NAME)

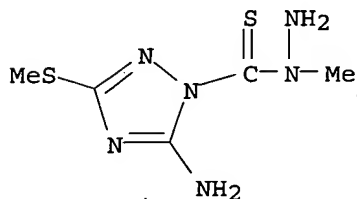


IT 135857-21-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation of, with aldehydes, in preparation of **drugs**)

RN 135857-21-5 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(methylthio)-, 1-methylhydrazide (9CI) (CA INDEX NAME)



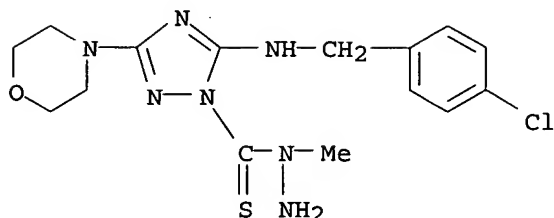
IT 135857-26-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclocondensation of, with acetaldehyde, in preparation of **drug**)

RN 135857-26-0 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-[[[4-chlorophenyl)methyl]amino]-3-, 1-methylhydrazide (9CI) (CA INDEX NAME)

(4-morpholinyl)-, 1-methylhydrazide (9CI) (CA INDEX NAME)

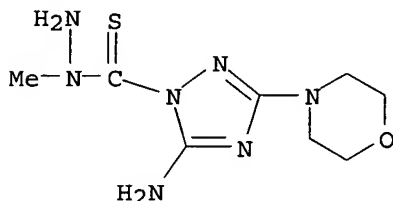


IT 135857-19-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and condensation of, with aldehydes, in preparation of drug)

RN 135857-19-1 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(4-morpholinyl)-,  
 1-methylhydrazide (9CI) (CA INDEX NAME)



L25 ANSWER 15 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:536101 HCAPLUS

DOCUMENT NUMBER: 115:136101

TITLE: Preparation of triazolyl hydrazides as pharmaceuticals  
 for the treatment of ulcers, angina and as  
 tranquilizers or cardiovascular agents

INVENTOR(S): Barkuczy, Jozsef; Reiter, Jozsef; Pong, Laszlo;  
 Petocz, Lujza; Gorgenyi, Frigyes; Fekete, Marton;  
 Szirt, Eniko; Szecsey, Maria; Gacsalyi, Istvan;  
 Gyertyan, Istvan

PATENT ASSIGNEE(S): EGIS Gyogyszergyar, Hung.

SOURCE: Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 425283	A2	19910502	EP 1990-311690	19901025 <--
EP 425283	A3	19911023		
EP 425283	B1	19950510		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
HU 59382	A2	19920528	HU 1989-5428	19891025 <--
HU 206095	B	19920828		
CA 2028557	AA	19910426	CA 1990-2028557	19901025 <--
CN 1051173	A	19910508	CN 1990-108619	19901025 <--

JP 03246282	A2	19911101	JP 1990-288248	19901025 <--
ZA 9008557	A	19911224	ZA 1990-8557	19901025 <--
IN 171608	A	19921121	IN 1990-MA851	19901025 <--
US 5225410	A	19930706	US 1990-604488	19901025 <--
PL 164879	B1	19941031	PL 1990-287506	19901025 <--
IL 96126	A1	19941111	IL 1990-96126	19901025 <--
AT 122343	E	19950515	AT 1990-311690	19901025 <--
RU 2039051	C1	19950709	RU 1990-4831604	19901025 <--
ES 2076334	T3	19951101	ES 1990-311690	19901025 <--

PRIORITY APPLN. INFO.:

HU 1989-5428

A 19891025

OTHER SOURCE(S):

MARPAT 115:136101

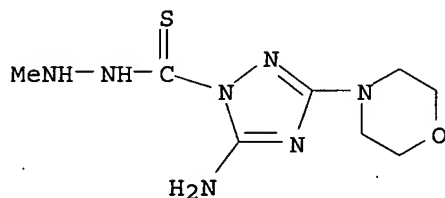
AB Certain triazolyl hydrazides and pharmaceuticals for the treatment of angina, cardiovascular diseases, acid secretion, microbial diseases, gastric ulcers and pharmaceuticals having a tranquilizing or sedative effect containing these triazolyl hydrazides are claimed. Treatment of Me (5-amino-3-morpholino-1H-1,2,4-triazol-1-yl)carbodithioate with MeNHNH<sub>2</sub> in MeOH gave (5-amino-3-morpholino-1H-1,2,4-triazol-1-yl)-N-methylcarbodithioic hydrazide (I). I had a motility-inhibiting effect in mice (therapeutic index >10; meprobamate 4.1).

IT 135885-22-2P 135885-23-3P 135885-24-4P  
 135885-25-5P 135885-26-6P 135885-27-7P  
 135885-28-8P 135885-29-9P 135885-30-2P  
 135885-31-3P 135885-32-4P 135885-33-5P  
 135885-34-6P 135885-35-7P 135885-36-8P  
 135885-37-9P 135885-38-0P 135885-39-1P  
 135885-40-4P 135885-41-5P 135885-42-6P  
 135885-43-7P 135885-44-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as pharmaceutical)

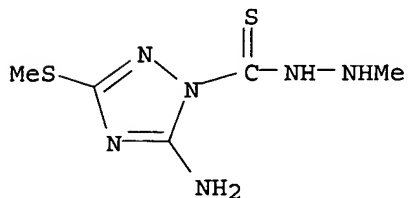
RN 135885-22-2 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(4-morpholinyl)-,  
 2-methylhydrazide (9CI) (CA INDEX NAME)



RN 135885-23-3 HCAPLUS

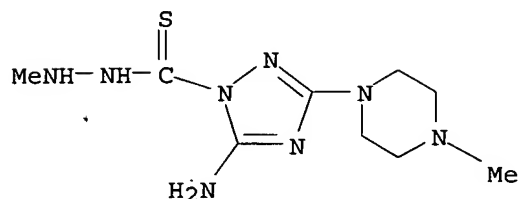
CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(methylthio)-,  
 2-methylhydrazide (9CI) (CA INDEX NAME)



RN 135885-24-4 HCAPLUS

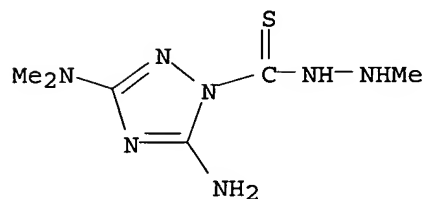


CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(4-methyl-1-piperazinyl)-, 2-methylhydrazide (9CI) (CA INDEX NAME)



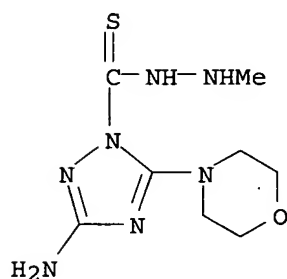
RN 135885-25-5 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(dimethylamino)-, 2-methylhydrazide (9CI) (CA INDEX NAME)



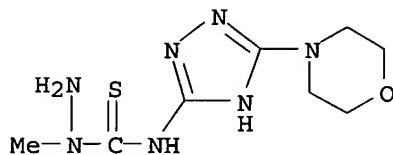
RN 135885-26-6 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 3-amino-5-(4-morpholinyl)-, 2-methylhydrazide (9CI) (CA INDEX NAME)



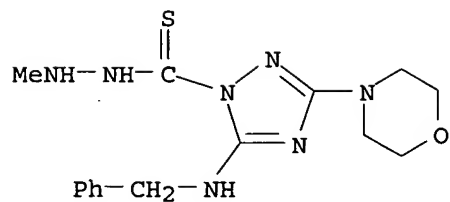
RN 135885-27-7 HCAPLUS

CN Hydrazinecarbothioamide, 1-methyl-N-[5-(4-morpholinyl)-1H-1,2,4-triazol-3-yl]- (9CI) (CA INDEX NAME)



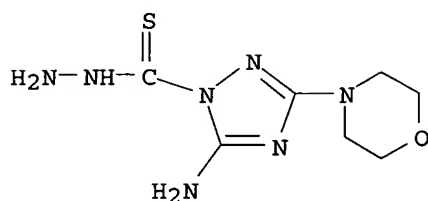
RN 135885-28-8 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 3-(4-morpholinyl)-5-[(phenylmethyl)amino]-, 2-methylhydrazide (9CI) (CA INDEX NAME)



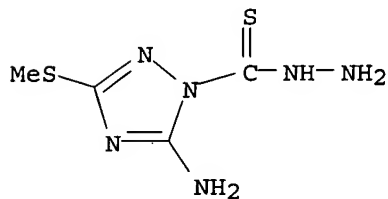
RN 135885-29-9 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(4-morpholinyl)-, hydrazide (9CI) (CA INDEX NAME)



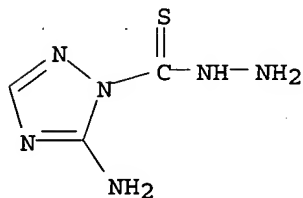
RN 135885-30-2 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(methylthio)-, hydrazide (9CI) (CA INDEX NAME)



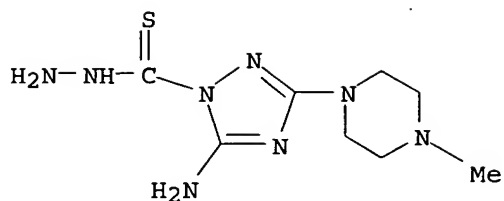
RN 135885-31-3 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-, hydrazide (9CI) (CA INDEX NAME)



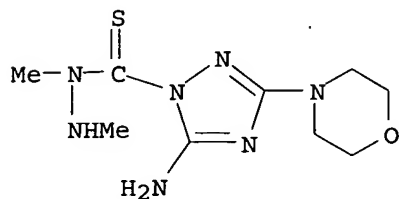
RN 135885-32-4 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(4-methyl-1-piperazinyl)-, hydrazide (9CI) (CA INDEX NAME)



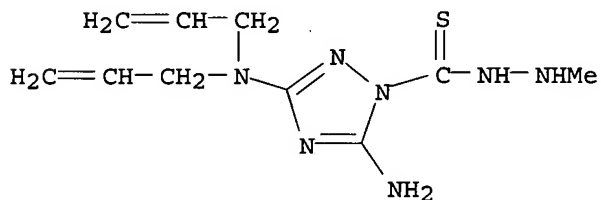
RN 135885-33-5 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(4-morpholinyl)-, 1,2-dimethylhydrazide (9CI) (CA INDEX NAME)



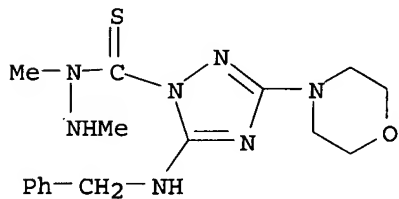
RN 135885-34-6 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(di-2-propenylamino)-, 2-methylhydrazide (9CI) (CA INDEX NAME)



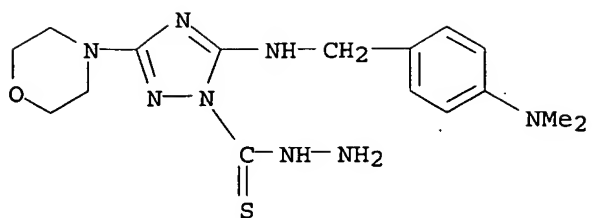
RN 135885-35-7 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 3-(4-morpholinyl)-5-[(phenylmethyl)amino]-, 1,2-dimethylhydrazide (9CI) (CA INDEX NAME)



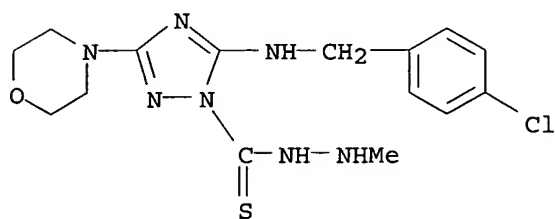
RN 135885-36-8 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-[[[4-(dimethylamino)phenyl]methyl]amino]-3-(4-morpholinyl)-, hydrazide (9CI) (CA INDEX NAME)



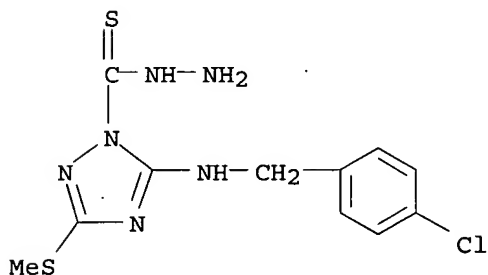
RN 135885-37-9 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-[[[4-(chlorophenyl)methyl]amino]-3-(4-morpholinyl)-, 2-methylhydrazide (9CI) (CA INDEX NAME)



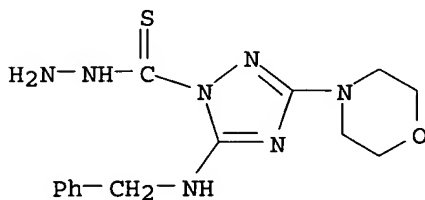
RN 135885-38-0 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-[[[4-(chlorophenyl)methyl]amino]-3-(methylthio)-, hydrazide (9CI) (CA INDEX NAME)



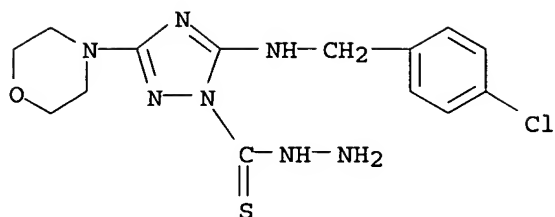
RN 135885-39-1 HCAPLUS

CN 1H-1,2,4-Triazole-1-carbothioic acid, 3-(4-morpholinyl)-5-[(phenylmethyl)amino]-, hydrazide (9CI) (CA INDEX NAME)

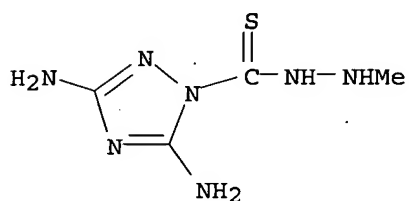


RN 135885-40-4 HCAPLUS

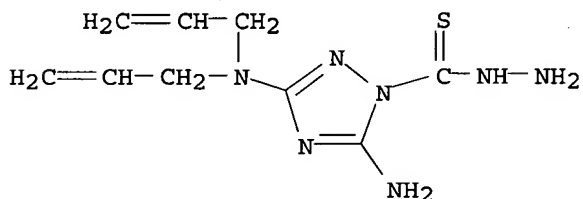
CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-[[[4-(chlorophenyl)methyl]amino]-3-(4-morpholinyl)-, hydrazide (9CI) (CA INDEX NAME)



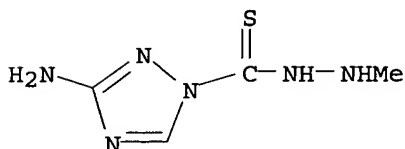
RN 135885-41-5 HCAPLUS  
 CN 1H-1,2,4-Triazole-1-carbothioic acid, 3,5-diamino-, 2-methylhydrazide  
 (9CI) (CA INDEX NAME)



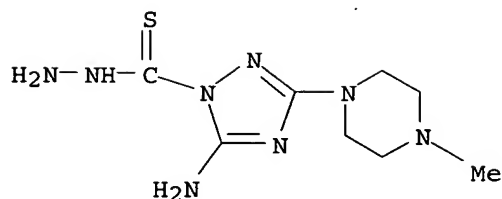
RN 135885-42-6 HCAPLUS  
 CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(di-2-propenylamino)-,  
 hydrazide (9CI) (CA INDEX NAME)



RN 135885-43-7 HCAPLUS  
 CN 1H-1,2,4-Triazole-1-carbothioic acid, 3-amino-, 2-methylhydrazide (9CI)  
 (CA INDEX NAME)

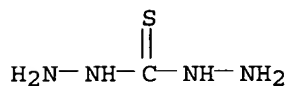


RN 135885-44-8 HCAPLUS  
 CN 1H-1,2,4-Triazole-1-carbothioic acid, 5-amino-3-(4-methyl-1-piperazinyl)-,  
 hydrazide, trihydrochloride (9CI) (CA INDEX NAME)



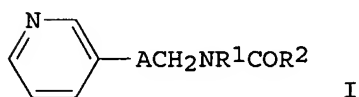
● 3 HCl

IT 2231-57-4, Thiocarbohydrazide  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with di-Me cyanocarbonimidodithioate)  
 RN 2231-57-4 HCAPLUS  
 CN Carbonothioic dihydrazide (9CI) (CA INDEX NAME)



L25 ANSWER 16 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1990:591176 HCAPLUS  
 DOCUMENT NUMBER: 113:191176  
 TITLE: Preparation of 3-(alkanamidoacetyl)pyridines as drugs  
 for the treatment of liver disease  
 INVENTOR(S): Hatayama, Katsuo; Sano, Tatsuhiko; Yoshikawa,  
 Yoshinari; Ochi, Yutaka; Higuchi, Shohei  
 PATENT ASSIGNEE(S): Chinese Academy of Medical Sciences, Institute of  
 Pharmacology, Peop. Rep. China; Taisho Pharmaceutical  
 Co., Ltd.  
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02145572	A2	19900605	JP 1988-294491	19881121 <--
PRIORITY APPLN. INFO.:			JP 1988-294491	19881121
OTHER SOURCE(S):	MARPAT 113:191176			
GI				



AB The title compds. I [A = C(OR)<sub>2</sub>, CO, C:NNHCSNH<sub>2</sub>; R = alkyl; R<sub>1</sub> = H, alkyl;

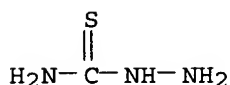
R2 = C1-6 alkyl] were prepared Treatment of 3-(2-bromoacetyl)pyridine-HBr with MeNH<sub>2</sub> at room temperature, followed by reaction of the resulting intermediate with Ac<sub>2</sub>O in pyridine, gave I (R1 = R2 = Me, A = CO). 3-(Pentanamidoacetyl)pyridine at 200 mg/kg orally gave 37.5% inhibition of D-galactosamine-induced liver damage in rats.

IT 79-19-6, Thiosemicarbazide

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of **drug** for treatment of liver disease)

RN 79-19-6 HCAPLUS

CN Hydrazinecarbothioamide (9CI) (CA INDEX NAME)



L25 ANSWER 17 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:590766 HCAPLUS

DOCUMENT NUMBER: 113:190766

TITLE: Preparation of azoxy compounds as agrochemical and medical fungicides

INVENTOR(S): Nakayama, Masahito; Watanabe, Isamu; Deushi, Takeo; Kamiya, Kazuhiro; Ito, Hisakatsu; Shiratsuchi, Masami

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

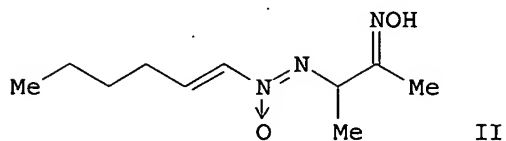
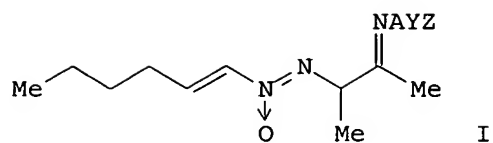
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9004585	A1	19900503	WO 1989-JP1082	19891021 <--
W: JP, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 396769	A1	19901114	EP 1989-911599	19891021 <--
EP 396769	B1	19930310		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 86609	E	19930315	AT 1989-911599	19891021 <--
JP 2793313	B2	19980903	JP 1989-510825	19891021 <--
US 5093480	A	19920303	US 1990-499435	19900621 <--
CA 2028220	AA	19920423	CA 1990-2028220	19901022 <--
PRIORITY APPLN. INFO.:			JP 1988-265275	A 19881022
			EP 1989-911599	A 19891021
			WO 1989-JP1082	W 19891021
OTHER SOURCE(S):			MARPAT 113:190766	
GI				



AB The title compds. I [A = O, OCO, NH, NHCO, NHCS, etc.; Y = single bond, C1-6 (substituted) alkylene, alkenylene; Z = H, C15 alkoxy, CO<sub>2</sub>H, C2-6 alkoxy, naphthyl, pyridyl, thienyl, etc.] were prepared. A mixture of KA-7367A and NH<sub>2</sub>OH.HCl in MeOH containing pyridine was stirred at room temperature for 1 h to give KA-7367A 2-oxime (II) (mixture of

syn

and anti isomers). II in vitro exhibited an MIC of 12.5 µg/mL against *Candida albicans*.

IT 79-19-6, Thiosemicarbazide 471-32-9,

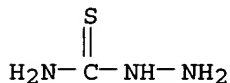
Hydrazinecarbodithioic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of agrochem. and medical fungicide)

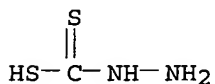
RN 79-19-6 HCAPLUS

CN Hydrazinecarbothioamide (9CI) (CA INDEX NAME)



RN 471-32-9 HCAPLUS

CN Hydrazinecarbodithioic acid (9CI) (CA INDEX NAME)



L25 ANSWER 18 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:473912 HCAPLUS

DOCUMENT NUMBER: 113:73912

TITLE: Preparation of nitrido complexes of rhenium and technetium isotopes, as radiopharmaceuticals

INVENTOR(S): Pasqualini, Roberto; Magon, Luciano; Bardy, Andre; Duatti, Adriano; Marchi, Andrea

PATENT ASSIGNEE(S): Compagnie Oris Industrie S. A., Fr.

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

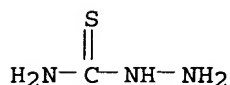
French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

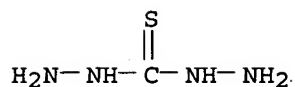


PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8908657	A2	19890921	WO 1989-FR94	19890308 <--
WO 8908657	A3	19891019		
W: AU, DK, JP, NO, SU, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
FR 2628428	A1	19890915	FR 1988-3044	19880309 <--
FR 2628428	B1	19910712		
FR 2639638	A1	19900601	FR 1988-15414	19881125 <--
FR 2639638	B1	19910726		
AU 8933518	A1	19891005	AU 1989-33518	19890308 <--
AU 619538	B2	19920130		
EP 403524	A1	19901227	EP 1989-903166	19890308 <--
EP 403524	B1	19930210		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03504964	T2	19911031	JP 1989-502987	19890308 <--
JP 07110869	B4	19951129		
AT 85619	E	19930215	AT 1989-903166	19890308 <--
US 5300278	A	19940405	US 1990-571570	19900907 <--
RU 2026300	C1	19950109	RU 1990-4831069	19900907 <--
PRIORITY APPLN. INFO.:			FR 1988-3044	A 19880309
			FR 1988-15414	A 19881125
			EP 1989-903166	A 19890308
			WO 1989-FR94	A 19890308
OTHER SOURCE(S): MARPAT 113:73912				
AB	Oxygenated 99mTc, 186Re or 188Re compds. are reacted with a phosphine or polyphosphine ligand, followed by reaction with a 2nd, N-containing ligand, to give a complex, which is usable as such as a radiopharmaceutical, or may be reacted further with a 3rd ligand or a monoclonal antibody. The 2nd ligand is a metal or NH4 nitride or a compound having a N-N bond. The 3rd ligand is N,N-bis-(2-methylpropane-2-thiol)ethane, tetraazaundecane, etc. A mixture of 0.4 mL ethanolic H2NNHC(S)SMe solution (2.5 mg/mL), 0.1 mL in HCl and 0.5-1.0 mL Na 99mTcO4 solution (10-9-10-11 mol Tc) was heated at 80° for 30 min to give a nitrido 99mTc complex, comprising the Tc.tplbond.N bond. Organ distribution of the radioactivity, following injection of the complex into rats, is given. Kits are described, with the ligands packaged sep.			
IT	79-19-6DP, Thiosemicarbazide, complexes with rhenium or technetium isotopes and phosphines 2231-57-4DP, Thiocarbohydrazide, complexes with rhenium or technetium isotopes and phosphines 5397-03-5DP, complexes with rhenium or technetium isotopes and phosphines 6610-29-3DP, complexes with rhenium or technetium isotopes and phosphines 20184-94-5DP, complexes with rhenium or technetium isotopes and phosphines 21185-13-7DP, complexes with rhenium or technetium isotopes and phosphines RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as radiopharmaceuticals)			
RN	79-19-6 HCAPLUS			
CN	Hydrazinecarbothioamide (9CI) (CA INDEX NAME)			

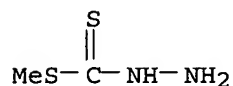


RN 2231-57-4 HCAPLUS

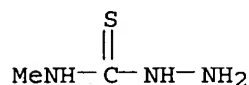
CN Carbonothioic dihydrazide (9CI) (CA INDEX NAME)



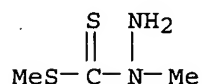
RN 5397-03-5 HCAPLUS  
 CN Hydrazinecarbodithioic acid, methyl ester (9CI) (CA INDEX NAME)



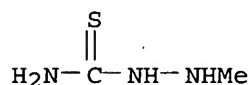
RN 6610-29-3 HCAPLUS  
 CN Hydrazinecarbothioamide, N-methyl- (9CI) (CA INDEX NAME)



RN 20184-94-5 HCAPLUS  
 CN Hydrazinecarbodithioic acid, 1-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 21185-13-7 HCAPLUS  
 CN Hydrazinecarbothioamide, 2-methyl- (9CI) (CA INDEX NAME)



L25 ANSWER 19 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:76957 HCAPLUS

DOCUMENT NUMBER: 112:76957

TITLE: Dihydropyridine antiallergic and antiinflammatory agents and their preparation and pharmaceutical compositions

INVENTOR(S): Cooper, Kelvin; Steele, John; Richardson, Kenneth

PATENT ASSIGNEE(S): Pfizer Ltd., UK

SOURCE: Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

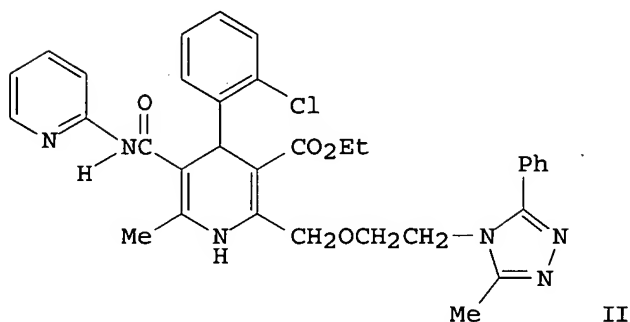
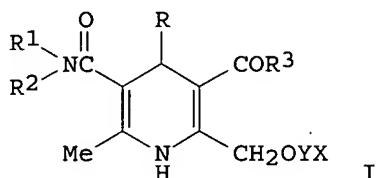
DATE

APPLICATION NO.

DATE

EP 329357	A1	19890823	EP 1989-301328	19890213 <--
EP 329357	B1	19920715		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4859686	A	19890822	US 1989-294322	19890106 <--
AT 78252	E	19920815	AT 1989-301328	19890213 <--
ES 2042997	T3	19931216	ES 1989-301328	19890213 <--
DK 8900717	A	19890821	DK 1989-717	19890216 <--
FI 8900775	A	19890820	FI 1989-775	19890217 <--
JP 01254665	A2	19891011	JP 1989-40148	19890220 <--
PRIORITY APPLN. INFO.:			GB 1988-3963	A 19880219
			EP 1989-301328	A 19890213

GI



AB Dihydropyridines I [R = (un)substituted Ph; R2 = H, alkyl; NR1R2 = pyrrolidinyl, piperidino, morpholino, (alkyl or alkanoyl)piperazino; or R2 = H or alkyl and R1 = cycloalkyl, aryl, indanyl, heteroaryl, (un)substituted alkyl; R3 = OH, alkoxy, arylalkoxy, NR4R5; R4, R5 = H, (alkyl)piperazinyl; Y = alkylene; X = (un)substituted 1,2,4-triazol-3-yl or -4-yl, triazolo[2,3-a]pyrid-2-yl], which are antagonists of platelet-activating factor (PAF) and thus useful for treating allergic, inflammatory, and hypersecretory conditions (no data), were prepared. For example, cyclocondensation of 2-ClC6H4CHO with N-(2-pyridyl)-3-aminocrotonamide and Et 4-[2-(3-methyl-5-phenyl-4H-1,2,4-triazol-4-yl)ethoxy]-3-oxobutanoate in refluxing EtOH gave 20% (pyridylcarbonyl) (triazolyloethoxymethyl)dihydropyridine derivative II.

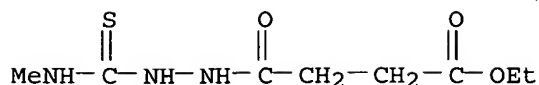
IT 125156-55-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of dihydropyridine antiallergic and antiinflammatory agents)

RN 125156-55-0 HCAPLUS

CN Butanedioic acid, monoethyl ester, 2-[(methylamino)thioxomethyl]hydrazide (9CI) (CA INDEX NAME)



L25 ANSWER 20 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:42594 HCAPLUS

DOCUMENT NUMBER: 112:42594

TITLE: Inhibitors (e.g., aminoguanidines, etc.) of the  
Maillard reaction and formulations containing them

INVENTOR(S): Onada, Shuichi; Toda, Masaaki; Miyamoto, Tsumoru

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd.; Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

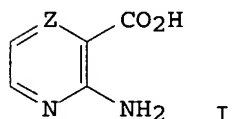
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01056614	A2	19890303	JP 1987-211449	19870827 <--
PRIORITY APPLN. INFO.:			JP 1987-211449	19870827
OTHER SOURCE(S):	MARPAT 112:42594			
GI				



AB Pharmaceuticals contain Maillard reaction inhibitors  $\text{RC}(:\text{X})\text{NR}_1\text{NH}_2$  ( $\text{R} = \text{NH}_2, \text{NHMe}, \text{NHET}, \text{NHNH}_2$ , etc.;  $\text{X} = \text{NH}, \text{O}, \text{S}$ ;  $\text{R}_1 = \text{H}, \text{Me}$ ; Proviso given), amines I ( $\text{Z} = \text{N}, \text{CH}$ ), etc. as active ingredients. The preps. are useful for treating diabetes, arteriosclerosis, etc. Tablets were prepared containing 1,3-diaminoguanidine-HCl 5, disintegrating agent 0.2, Mg stearate 0.1, and crystalline cellulose 4.7 g.

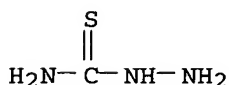
IT 79-19-6, Thiosemicarbazide 6610-29-3,  
4-Methyl-3-thiosemicarbazide 6938-68-7, 2-Methyl-3-  
thiosemicarbazide 13431-34-0, 4-Ethyl-3-thiosemicarbazide  
15183-93-4

RL: BIOL (Biological study)

(as Maillard reaction inhibitor, pharmaceuticals containing)

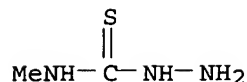
RN 79-19-6 HCAPLUS

CN Hydrazinecarbothioamide (9CI) (CA INDEX NAME)

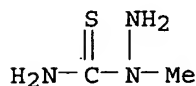


RN 6610-29-3 HCAPLUS

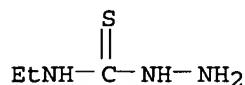
CN Hydrazinecarbothioamide, N-methyl- (9CI) (CA INDEX NAME)



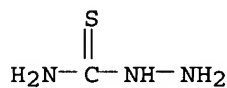
RN 6938-68-7 HCAPLUS  
 CN Hydrazinecarbothioamide, 1-methyl- (9CI) (CA INDEX NAME)



RN 13431-34-0 HCAPLUS  
 CN Hydrazinecarbothioamide, N-ethyl- (9CI) (CA INDEX NAME)



RN 15183-93-4 HCAPLUS  
 CN Hydrazinecarbothioamide, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

L25 ANSWER 21 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1989:553796 HCAPLUS  
 DOCUMENT NUMBER: 111:153796  
 TITLE: Preparation of 3-amino-5-methyl-1H-pyrazole-4-carboxylic acids and their esters as anticonvulsants, muscle relaxants, and anxiolytics  
 INVENTOR(S): Taylor, Chandler R., Jr.; Stauffer, Harold F., Jr.  
 PATENT ASSIGNEE(S): A. H. Robins Co., Inc., USA  
 SOURCE: U.S., 21 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4826866	A	19890502	US 1987-115918	19871102 <--
AU 8824639	A1	19890504	AU 1988-24639	19881102 <--
EP 315433	A2	19890510	EP 1988-310307	19881102 <--
EP 315433	A3	19900321		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 01151517	A2	19890614	JP 1988-278526	19881102 <--

PRIORITY APPLN. INFO.: US 1987-115918 A 19871102

OTHER SOURCE(S): CASREACT 111:153796; MARPAT 111:153796

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; R1 = H, lower alkyl, pharmaceutically acceptable cation; R2, R3 = H, lower alkyl, lower alkenyl, cycloalkyl, 1-adamantyl, aryl, (dialkylamino)alkyl, (cyclic amino)alkyl] and their tautomers and pharmaceutically acceptable salts were prepared by cyclocondensation of H2NNHCSNR2R3 (II) with MeCOCHClCO2R1. A mixture of 16.7 g II (R2 = Ph, R3 = H) and 16.5 g MeCOCHClCO2Et in 60 mL EtOH was stirred 1 h at room temperature, followed by addition of alc. HCl and refluxing 1 h, to give 10.5 g I (R1 = Et, R2 = Ph, R3 = H). Selected I had ED50 of 20-50 mg/kg i.p. as anticonvulsants in the pentetrazole test in mice and 15-50 mg/kg i.p. as muscle relaxants in the Straub tail test in mice.

IT 79-19-6, Hydrazinecarbothioamide 614-10-8

3766-55-0 4312-11-2 4312-13-4

5351-69-9, 4-Phenyl-3-thiosemicarbazide 6499-15-6

6610-29-3 6610-31-7 6926-58-5

13431-34-0 13431-35-1 13431-36-2

13431-39-5 13431-41-9 15970-51-1

21126-27-2 21198-18-5 21198-23-2

22814-92-2 27421-74-5 32806-53-4

32813-48-2 36273-89-9 40207-02-1

41593-77-5 42135-75-1 42135-76-2

42135-78-4 53347-39-0 53347-40-3

53347-41-4 59545-78-7 61335-37-3

66298-09-7 71058-35-0 73305-13-2

76457-80-2 77644-45-2 90180-64-6

93335-73-0 122813-69-8 122813-70-1

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122813-74-5 122813-75-6 122813-76-7

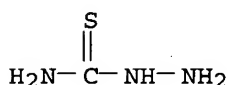
122813-77-8 122813-78-9 122828-98-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(cyclocondensation of, with chloroacetoacetate, in preparation of drug)

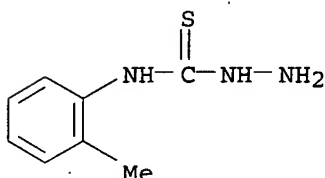
RN 79-19-6 HCAPLUS

CN Hydrazinecarbothioamide (9CI) (CA INDEX NAME)



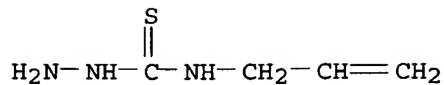
RN 614-10-8 HCAPLUS

CN Hydrazinecarbothioamide, N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

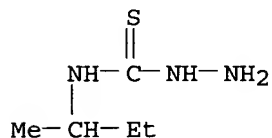


RN 3766-55-0 HCAPLUS

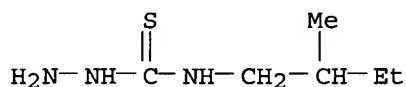
CN Hydrazinecarbothioamide, N-2-propenyl- (9CI) (CA INDEX NAME)



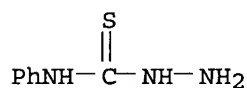
RN 4312-11-2 HCAPLUS  
CN Hydrazinecarbothioamide, N-(1-methylpropyl)- (9CI) (CA INDEX NAME)



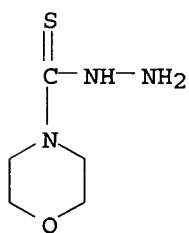
RN 4312-13-4 HCAPLUS  
CN Hydrazinecarbothioamide, N-(2-methylbutyl)- (9CI) (CA INDEX NAME)



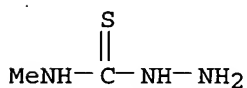
RN 5351-69-9 HCAPLUS  
CN Hydrazinecarbothioamide, N-phenyl- (9CI) (CA INDEX NAME)



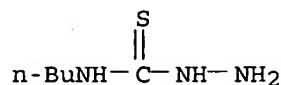
RN 6499-15-6 HCAPLUS  
CN 4-Morpholinecarbothioic acid, hydrazide (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)



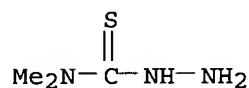
RN 6610-29-3 HCAPLUS  
CN Hydrazinecarbothioamide, N-methyl- (9CI) (CA INDEX NAME)



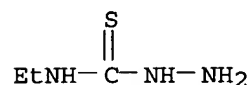
RN 6610-31-7 HCAPLUS  
CN Hydrazinecarbothioamide, N-butyl- (9CI) (CA INDEX NAME)



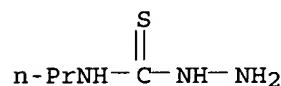
RN 6926-58-5 HCAPLUS  
CN Hydrazinecarbothioamide, N,N-dimethyl- (9CI) (CA INDEX NAME)



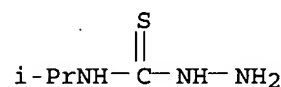
RN 13431-34-0 HCAPLUS  
CN Hydrazinecarbothioamide, N-ethyl- (9CI) (CA INDEX NAME)



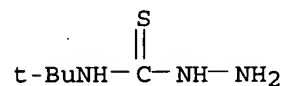
RN 13431-35-1 HCAPLUS  
CN Hydrazinecarbothioamide, N-propyl- (9CI) (CA INDEX NAME)



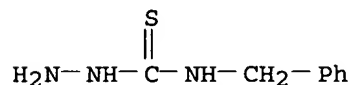
RN 13431-36-2 HCAPLUS  
CN Hydrazinecarbothioamide, N-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 13431-39-5 HCAPLUS  
CN Hydrazinecarbothioamide, N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

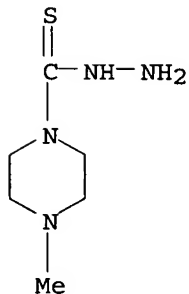


RN 13431-41-9 HCAPLUS  
CN Hydrazinecarbothioamide, N-(phenylmethyl)- (9CI) (CA INDEX NAME)

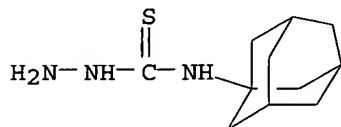




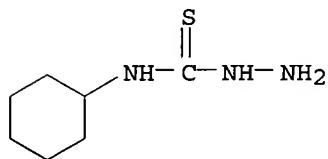
RN 15970-51-1 HCAPLUS  
 CN 1-Piperazinecarbothioic acid, 4-methyl-, hydrazide (8CI, 9CI) (CA INDEX NAME)



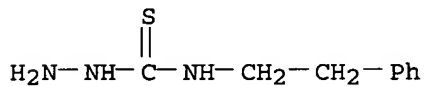
RN 21126-27-2 HCAPLUS  
 CN Hydrazinecarbothioamide, N-tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-yl- (9CI) (CA INDEX NAME)



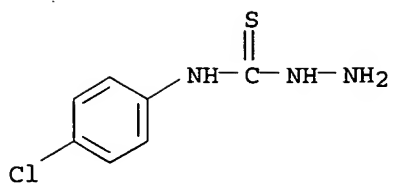
RN 21198-18-5 HCAPLUS  
 CN Hydrazinecarbothioamide, N-cyclohexyl- (9CI) (CA INDEX NAME)



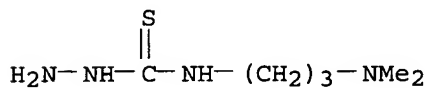
RN 21198-23-2 HCAPLUS  
 CN Hydrazinecarbothioamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)



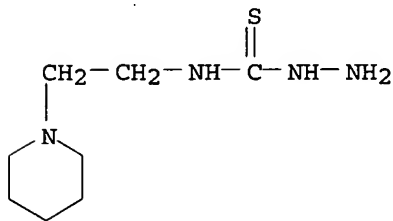
RN 22814-92-2 HCAPLUS  
 CN Hydrazinecarbothioamide, N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



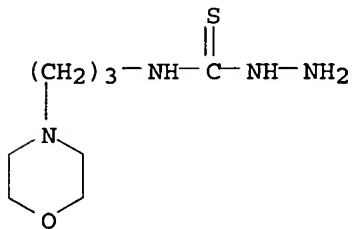
RN 27421-74-5 HCAPLUS  
 CN Hydrazinecarbothioamide, N-[3-(dimethylamino)propyl]- (9CI) (CA INDEX NAME)



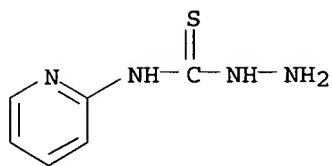
RN 32806-53-4 HCAPLUS  
 CN Hydrazinecarbothioamide, N-[2-(1-piperidiny)ethyl]- (9CI) (CA INDEX NAME)



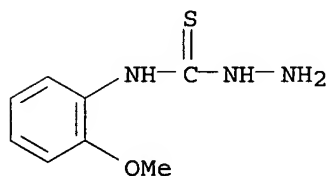
RN 32813-48-2 HCAPLUS  
 CN Hydrazinecarbothioamide, N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



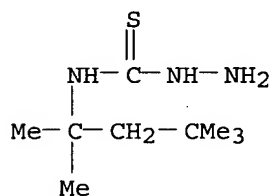
RN 36273-89-9 HCAPLUS  
 CN Hydrazinecarbothioamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)



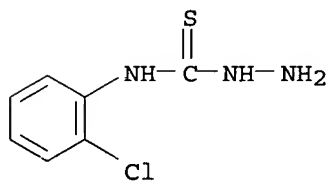
RN 40207-02-1 HCAPLUS  
CN Hydrazinecarbothioamide, N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



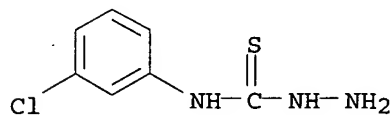
RN 41593-77-5 HCAPLUS  
CN Hydrazinecarbothioamide, N-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)



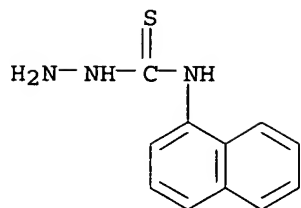
RN 42135-75-1 HCAPLUS  
CN Hydrazinecarbothioamide, N-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



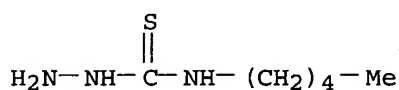
RN 42135-76-2 HCAPLUS  
CN Hydrazinecarbothioamide, N-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



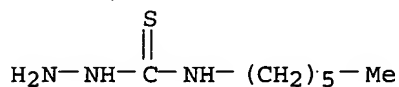
RN 42135-78-4 HCAPLUS  
CN Hydrazinecarbothioamide, N-1-naphthalenyl- (9CI) (CA INDEX NAME)



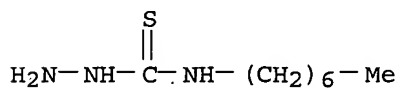
RN 53347-39-0 HCAPLUS  
 CN Hydrazinecarbothioamide, N-pentyl- (9CI) (CA INDEX NAME)



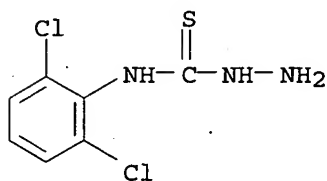
RN 53347-40-3 HCAPLUS  
 CN Hydrazinecarbothioamide, N-hexyl- (9CI) (CA INDEX NAME)



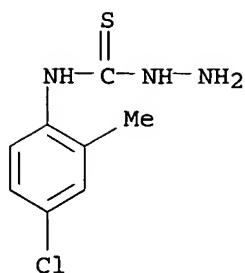
RN 53347-41-4 HCAPLUS  
 CN Hydrazinecarbothioamide, N-heptyl- (9CI) (CA INDEX NAME)



RN 59545-78-7 HCAPLUS  
 CN Hydrazinecarbothioamide, N-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)

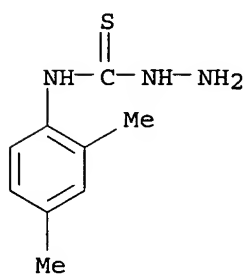


RN 61335-37-3 HCAPLUS  
 CN Hydrazinecarbothioamide, N-(4-chloro-2-methylphenyl)- (9CI) (CA INDEX NAME)



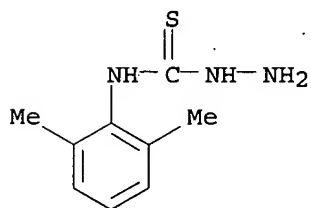
RN 66298-09-7 HCAPLUS

CN Hydrazinecarbothioamide, N-(2,4-dimethylphenyl)- (9CI) (CA INDEX NAME)



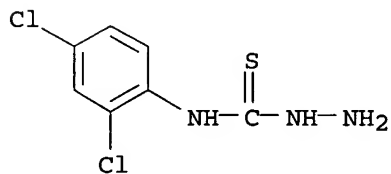
RN 71058-35-0 HCAPLUS

CN Hydrazinecarbothioamide, N-(2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



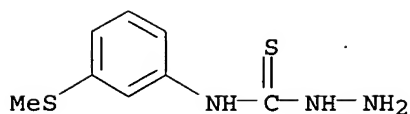
RN 73305-13-2 HCAPLUS

CN Hydrazinecarbothioamide, N-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

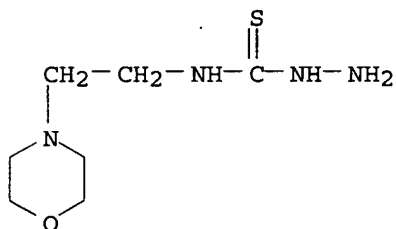


RN 76457-80-2 HCAPLUS

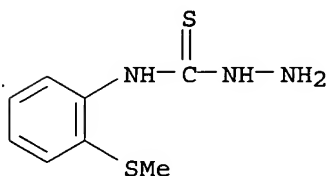
CN Hydrazinecarbothioamide, N-[3-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



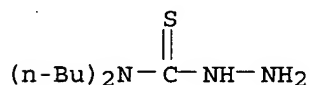
RN 77644-45-2 HCAPLUS  
CN Hydrazinecarbothioamide, N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



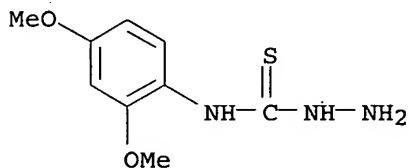
RN 90180-64-6 HCAPLUS  
CN Hydrazinecarbothioamide, N-[2-(methylthio)phenyl]- (9CI) (CA INDEX NAME)



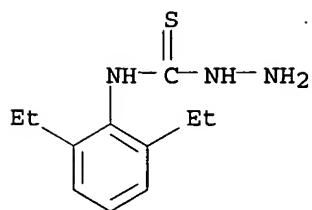
RN 93335-73-0 HCAPLUS  
CN Hydrazinecarbothioamide, N,N-dibutyl- (9CI) (CA INDEX NAME)



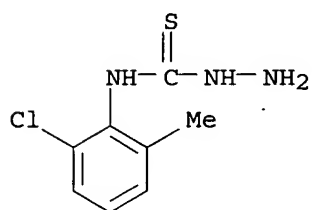
RN 122813-69-8 HCAPLUS  
CN Hydrazinecarbothioamide, N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



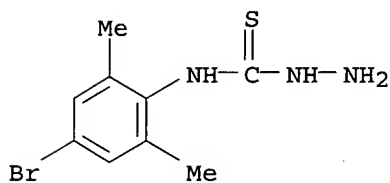
RN 122813-70-1 HCAPLUS  
CN Hydrazinecarbothioamide, N-(2,6-diethylphenyl)- (9CI) (CA INDEX NAME)



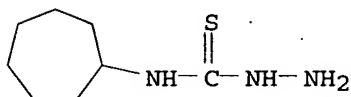
RN 122813-71-2 HCAPLUS  
 CN Hydrazinecarbothioamide, N-(2-chloro-6-methylphenyl)- (9CI) (CA INDEX NAME)



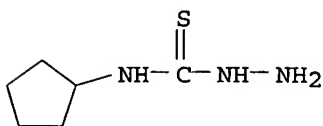
RN 122813-72-3 HCAPLUS  
 CN Hydrazinecarbothioamide, N-(4-bromo-2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)



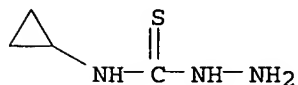
RN 122813-73-4 HCAPLUS  
 CN Hydrazinecarbothioamide, N-cycloheptyl- (9CI) (CA INDEX NAME)



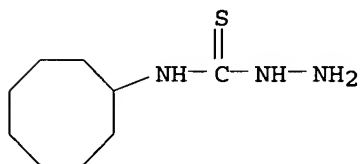
RN 122813-74-5 HCAPLUS  
 CN Hydrazinecarbothioamide, N-cyclopentyl- (9CI) (CA INDEX NAME)



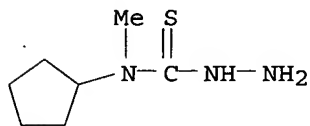
RN 122813-75-6 HCAPLUS  
 CN Hydrazinecarbothioamide, N-cyclopropyl- (9CI) (CA INDEX NAME)



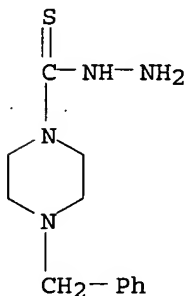
RN 122813-76-7 HCAPLUS  
 CN Hydrazinecarbothioamide, N-cyclooctyl- (9CI) (CA INDEX NAME)



RN 122813-77-8 HCAPLUS  
 CN Hydrazinecarbothioamide, N-cyclopentyl-N-methyl- (9CI) (CA INDEX NAME)

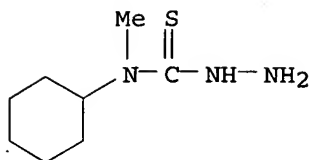


RN 122813-78-9 HCAPLUS  
 CN 1-Piperazinecarbothioic acid, 4-(phenylmethyl)-, hydrazide (9CI) (CA INDEX NAME)



RN 122828-98-2 HCAPLUS  
 CN Hydrazinecarbothioamide, N-cyclohexyl-N-methyl- (9CI) (CA INDEX NAME)





L25 ANSWER 22 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:95013 HCAPLUS

DOCUMENT NUMBER: 110:95013

TITLE: Preparation of pyridylmethyl sulfides as pharmaceuticals

INVENTOR(S): Horiuchi, Jiro; Suzuki, Kazuo; Ito, Masayoshi; Shidori, Yoshiyasu; Kato, Tetsuzo

PATENT ASSIGNEE(S): Mekuto K. K., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

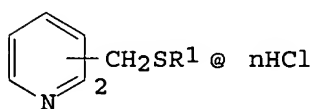
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

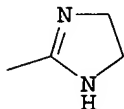
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63201168	A2	19880819	JP 1987-32778	19870216 <--
PRIORITY APPLN. INFO.:			JP 1987-32778	19870216
OTHER SOURCE(S):	MARPAT	110:95013		

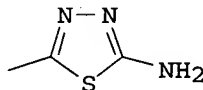
GI



I



Q1



Q2

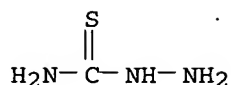
AB Title compds. I [R1 = Q1, Q2, C(:NR2)NHR3; R2 = H, alkyl; R3 = NH2, Ph, alkyl, alkenyl, alkynyl; n = 1, 2], useful as cardiotonics, diuretics, antiinflammatory agents, antiulcer agents, antihypertensives, Ca antagonists, parasympathetic blocking agents, bronchodilators, and  $\alpha$ -receptor blocking agents, are prepared A solution of 2-chloromethylpyridine, HCl and N-methylthiourea in MeOH was stirred at room temperature for 5 min. to give 86.2% I [R1SCH2 = MeNHC(:NH)S CH2 at C 2; n = 2], which at 25  $\mu$ g/mL showed 75% increase of cardiotoxic activity, vs. 48% for aminon at 100  $\mu$ g/mL.

IT 79-19-6, Thiosemicarbazide 6610-29-3, 4-Methylthiosemicarbazide

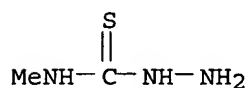
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation of, with chloromethylpyridine, in preparation of pharmaceuticals)

RN 79-19-6 HCAPLUS

CN Hydrazinecarbothioamide (9CI) (CA INDEX NAME)



RN 6610-29-3 HCAPLUS  
 CN Hydrazinecarbothioamide, N-methyl- (9CI) (CA INDEX NAME)



L25 ANSWER 23 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1986:502583 HCAPLUS  
 DOCUMENT NUMBER: 105:102583  
 TITLE: Antibody-therapeutic agent conjugates  
 INVENTOR(S): Goers, John Walter; Lee, Chyi; Siegel, Richard  
 Charles; McKearn, Thomas Joseph; King, Hurley Dalton;  
 Coughlin, Daniel James; Rodwell, John Dennis; Alvarez,  
 Vernon Leon  
 PATENT ASSIGNEE(S): Cytogen Corp., USA  
 SOURCE: Eur. Pat. Appl., 116 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

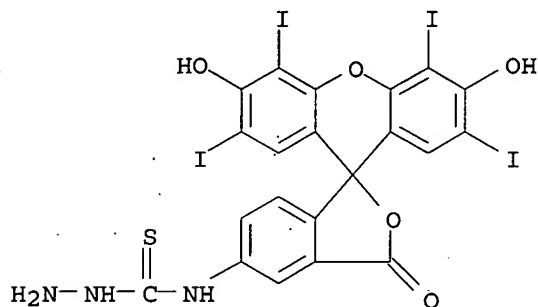
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 175617	A2	19860326	EP 1985-401776	19850913 <--
EP 175617	A3	19880615		
EP 175617	B1	19911030		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4867973	A	19890919	US 1984-650375	19840913 <--
WO 8601720	A1	19860327	WO 1985-US1700	19850910 <--
W: AU, DK, JP				
AU 8548071	A1	19860408	AU 1985-48071	19850910 <--
AU 583854	B2	19890511		
JP 62500175	T2	19870122	JP 1985-504137	19850910 <--
CA 1326834	A1	19940208	CA 1985-490424	19850911 <--
ZA 8507064	A	19870527	ZA 1985-7064	19850913 <--
AT 68974	E	19911115	AT 1985-401776	19850913 <--
DK 8602183	A	19860711	DK 1986-2183	19860512 <--
AU 8930161	A1	19890713	AU 1989-30161	19890221 <--
US 5156840	A	19921020	US 1989-327881	19890320 <--
US 5140104	A	19920818	US 1989-426374	19891024 <--
PRIORITY APPLN. INFO.:				
			US 1984-650375	A 19840913
			US 1984-650754	A 19840913
			US 1982-356315	A2 19820309
			US 1982-442050	A2 19821116
			US 1984-646327	A2 19840831
			US 1984-646328	A2 19840831
			WO 1985-US1700	A 19850910
			EP 1985-401776	A 19850913
			US 1986-861037	B1 19860508

AB Antibody-therapeutic agent conjugates are prepared by attaching a therapeutic agent to an antibody or antibody fragment directed against a target antigen. The therapeutic agent is attached either directly or via a cleavable or noncleavable linker to the antibody or antibody fragment. Therapeutic in vivo methods utilizing such antibody-therapeutic agent conjugates are described. Addnl., photosensitizers suitable for use in preparing antibody-therapeutic agents are described.

IT 104086-84-2P  
RL: PREP (Preparation)  
(preparation of, for conjugation with antibodies for phototherapy)

RN 104086-84-2 HCAPLUS

CN Hydrazinecarbothioamide, N-(3',6'-dihydroxy-2',4',5',7'-tetraiodo-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)- (9CI) (CA INDEX NAME)



L25 ANSWER 24 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1986:412089 HCAPLUS

DOCUMENT NUMBER: 105:12089

TITLE: Antibody-metal ion complexes for diagnosis and therapy

INVENTOR(S): Lee, Chyi; Rodwell, John Dennis; Goers, John Walter Frank; Siegel, Richard Charles; Alvarez, Vernon Leon; McKearn, Thomas Joseph

PATENT ASSIGNEE(S): Cytogen Corp., USA

SOURCE: Eur. Pat. Appl., 63 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 173629	A1	19860305	EP 1985-401695	19850829 <--
EP 173629	B1	19920610		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4741900	A	19880503	US 1984-646328	19840831 <--
CA 1260827	A1	19890926	CA 1985-488912	19850816 <--
WO 8601410	A1	19860313	WO 1985-US1556	19850819 <--
W: AU, DK, JP				
AU 8547701	A1	19860324	AU 1985-47701	19850819 <--
AU 588832	B2	19890928		
JP 62500119	T2	19870116	JP 1985-503820	19850819 <--
JP 06051720	B4	19940706		
ZA 8506358	A	19870429	ZA 1985-6358	19850821 <--
AT 77148	E	19920615	AT 1985-401695	19850829 <--
DK 8601951	A	19860429	DK 1986-1951	19860429 <--

US 5140104 A 19920818 US 1989-426374 19891024 <--  
 JP 06234800 A2 19940823 JP 1993-202208 19930816 <--  
 JP 07033399 B4 19950412

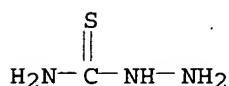
PRIORITY APPLN. INFO.: US 1984-646327 A 19840831  
 US 1984-646328 A 19840831  
 US 1982-356315 A2 19820309  
 US 1982-442050 A2 19821116  
 US 1984-650375 A2 19840913  
 US 1984-650754 B2 19840913  
 WO 1985-US1556 A 19850819  
 EP 1985-401695 A 19850829  
 US 1986-861037 B1 19860508

AB Antibody-metal ion complexes are prepared having a metal ion coordinately bound to a compatible chelator which is covalently bound to the antibody or antibody fragment. After formation of the antibody-metal ion complexes, nonspecifically attached metal ions are removed using a high-performance liquid mol. sieve chromatog. system to enhance the precision and resolution of the radioimages obtained when using the complexes in vivo. Also, the chelator is attached to an area of the antibody that is not directly involved with the antigenic site of the mol. giving an antibody conjugate having the same immunoreactivity and immunospecificity as the unconjugated antibody. Thus, chelators containing an amine group are attached directly to the oxidized carbohydrate moieties of the antibodies or antibody fragments, or chelators with reactive groups capable of reaction with HS-group are attached to reduced antibodies or reduced (Fab')<sub>2</sub> fragments. Therapeutic and in vitro and in vivo diagnostic methods utilizing such antibody-metal ion complexes are described.

IT 79-19-6D, reaction products with DPTA mixed anhydride, antibody conjugates, radionuclide complexes  
 RL: BIOL (Biological study)  
 (for cellular diagnosis and therapy)

RN 79-19-6 HCAPLUS

CN Hydrazinecarbothioamide (9CI) (CA INDEX NAME)



L25 ANSWER 25 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1985:132069 HCAPLUS

DOCUMENT NUMBER: 102:132069

TITLE: [[4-[4-(4-Phenyl-1-piperazinyl)phenoxy]methyl]-1,3-dioxolan-2-yl]methyl]-1H-imidazoles and 1H-1,2,4-triazoles

INVENTOR(S): Heeres, Jan; Stokbroekx, Raymond A.; Backx, Leo J. J.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.

SOURCE: Eur. Pat. Appl., 113 pp.  
 CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 118138	A1	19840912	EP 1984-200092	19840124 <--
EP 118138	B1	19890614		

Lambkin 10\_807919

R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

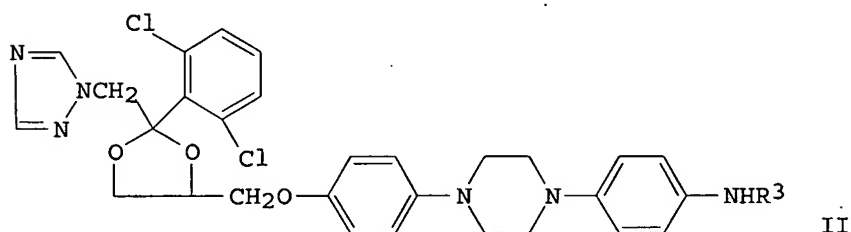
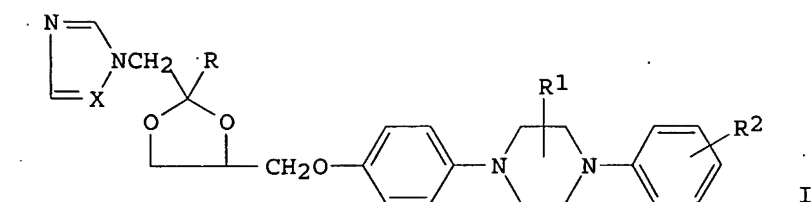
US 4619931	A	19861028	US 1984-569122	19840109 <--
AT 44030	E	19890615	AT 1984-200092	19840124 <--
CA 1271194	A1	19900703	CA 1984-447194	19840210 <--
JP 59172486	A2	19840929	JP 1984-32768	19840224 <--
JP 07042285	B4	19950510		
DK 8401070	A	19840829	DK 1984-1070	19840227 <--
DK 164454	B	19920629		
DK 164454	C	19921109		
FI 8400781	A	19840829	FI 1984-781	19840227 <--
FI 82043	B	19900928		
FI 82043	C	19910110		
NO 8400735	A	19840829	NO 1984-735	19840227 <--
NO 160138	B	19881205		
NO 160138	C	19890315		
AU 8425097	A1	19840906	AU 1984-25097	19840227 <--
AU 559461	B2	19870312		
ZA 8401449	A	19851030	ZA 1984-1449	19840227 <--
IL 71066	A1	19871220	IL 1984-71066	19840227 <--
ES 530138	A1	19850516	ES 1984-530138	19840228 <--
ES 530140	A1	19850601	ES 1984-530140	19840228 <--
ES 530139	A1	19850901	ES 1984-530139	19840228 <--
US 4735942	A	19880405	US 1986-869537	19860602 <--
NO 8702221	A	19840829	NO 1987-2221	19870527 <--
NO 163817	B	19900417		
NO 163817	C	19900725		
US 4861879	A	19890829	US 1988-154173	19880209 <--
CA 1309412	A2	19921027	CA 1989-615528	19891025 <--
FI 84058	B	19910628	FI 1989-5089	19891026 <--
FI 84058	C	19911010		
NO 9000396	A	19840829	NO 1990-396	19900129 <--
NO 173866	B	19931108		
NO 173866	C	19940216		
JP 05246999	A2	19930924	JP 1991-24132	19910124 <--
JP 07064823	B4	19950712		
DK 9100783	A	19910429	DK 1991-783	19910429 <--
DK 9101088	A	19910607	DK 1991-1088	19910607 <--
DK 166673	B1	19930628		

PRIORITY APPLN. INFO.:

US 1983-470405	A	19830228
US 1984-569122	A	19840109
EP 1984-200092	A	19840124
CA 1984-447194	A3	19840210
FI 1984-781	A	19840227
NO 1984-735	A1	19840227
US 1986-869537	A3	19860602

OTHER SOURCE(S):  
GI

CASREACT 102:132069



AB Over 300 title compds. I [R = (un)substituted Ph; R1 = H, alkyl; R2 = urea, thiourea, amido, 5-membered N-containing heterocycle; X = N, CH] and their intermediates, useful as pharmaceutical fungicides, were prepared. Thus, aniline derivative II (R3 = H) was treated with ClCO2Ph to give II (R3 = CO2Ph). At 2.5 mg/kg orally, daily for 3 days in rats, II (R3 = CO2Ph) controlled *Candida albicans* at the 14th day after infection.

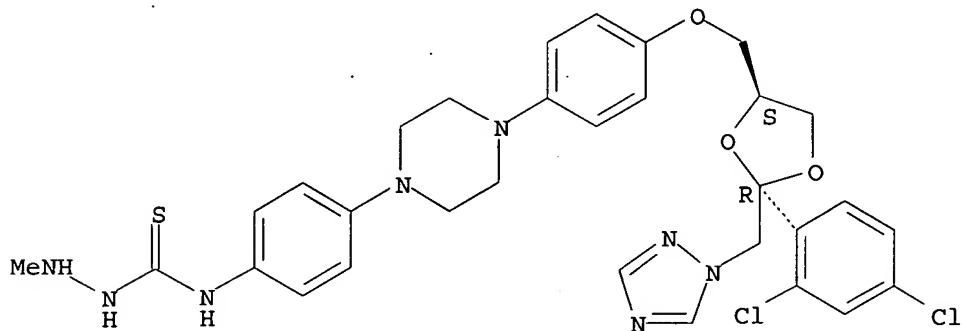
IT 95116-42-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and pharmaceutical fungicidal activity of)

RN 95116-42-0 HCAPLUS

CN Hydrazinecarbothioamide, N-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-2-methyl-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L25 ANSWER 26 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1983:470466 HCAPLUS

DOCUMENT NUMBER: 99:70466

TITLE: Cephalosporin derivatives pharmaceutical compositions containing them and intermediates

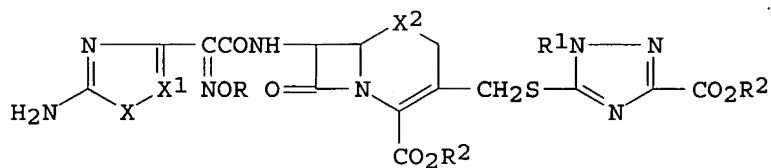
INVENTOR(S): Montavon, Marc; Reiner, Roland

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Eur. Pat. Appl., 41 pp.

DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 75110	A2	19830330	EP 1982-107410	19820816 <--
EP 75110	A3	19841212		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8203963	A	19830324	DK 1982-3963	19820903 <--
ZA 8206814	A	19830727	ZA 1982-6814	19820916 <--
AU 8288509	A1	19830331	AU 1982-88509	19820917 <--
JP 58065282	A2	19830418	JP 1982-164159	19820922 <--
PRIORITY APPLN. INFO.: GI			CH 1981-6137	A 19810923



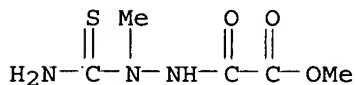
AB Cephalosporins I [X = S, Se; X1 = CH, N; X2 = S, O, SO, SO2; R = H, Me, carboxyalkyl; R1 = (un)substituted alkyl R2 = H, ester group] were prepared. Thus, I (X = S, X1 = CH, X2 = SO, R = R1 = Me, R2 = CH2O2CCMe3, II) was prepared from 7-aminocephalosporanic acid in 4 steps by reaction with the triazolethiol and the thiazolylacetic acid, esterification, and oxidation. II had an ED50 against Escherichia coli infection at 1.0 mg/kg orally in mice.

IT 80825-80-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclization of)

RN 80825-80-5 HCAPLUS

CN Ethanedioic acid, monomethyl ester, 2-(aminothioxomethyl)-2-methylhydrazide (9CI) (CA INDEX NAME)



L25 ANSWER 27 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1983:470462 HCAPLUS

DOCUMENT NUMBER: 99:70462

TITLE: Cephalosporin derivatives, pharmaceutical compositions containing them and their intermediates

INVENTOR(S): Montavon, Marc; Reiner, Roland

PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.

SOURCE: Eur. Pat. Appl., 49 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

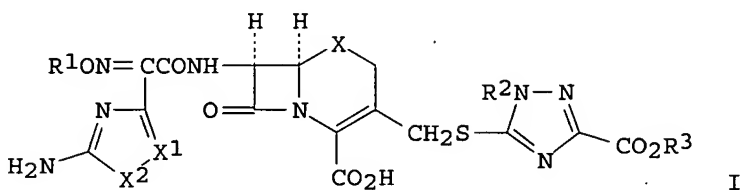
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 75095	A2	19830330	EP 1982-107150	19820807 <--
EP 75095	A3	19841017		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8203962	A	19830324	DK 1982-3962	19820903 <--
ZA 8206815	A	19830727	ZA 1982-6815	19820916 <--
AU 8288510	A1	19830331	AU 1982-88510	19820917 <--
JP 58065283	A2	19830418	JP 1982-164160	19820922 <--
PRIORITY APPLN. INFO.:			CH 1981-6138	A 19810923
			CH 1982-4598	A 19820729
GI				

GI



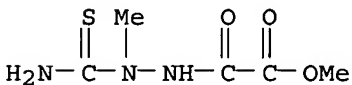
AB Easily hydrolyzable esters of cephalosporin derivs. I [R1 = H, Me, carboxyalkyl; R2 = alkyl or Ph (un)substituted with CO<sub>2</sub>H, OH, easily hydrolyzable acyloxy, NMe<sub>2</sub>; R3 = alkyl, phenyl-C<sub>2</sub>-4-alkyl, R<sub>4</sub>-phenylalkyl (R<sub>4</sub> = halo, alkyl, alkoxy); X = S, O, SO, SO<sub>2</sub>; X<sub>1</sub> = CH, N; X<sub>2</sub> = S, Se] as well as acid addition salts of these esters and hydrates of these esters or salts, useful as antibiotics, were prepared. MeO<sub>2</sub>C CONHNMeCSNH<sub>2</sub> was cyclized with NaOMe and the product triazolecarboxylate treated with 7-aminocephalosporanic acid to give the triazolylthiomethyl analog. This analog was silylated and the blocked compound acylated with (Z)-BrCH<sub>2</sub>COC(:NOH)COCl to give the butyramide which was cyclized with (H<sub>2</sub>N)<sub>2</sub>CS and the product thiazole Na salt esterified with Me<sub>3</sub>CCO<sub>2</sub>CH<sub>2</sub>I to give (6R,7R)-(Z)-I (R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = Me, X = X<sub>2</sub> = S, X<sub>1</sub> = CH) pivaloyloxymethyl ester (II). The oral ED<sub>50</sub> of II in mice was 0.07 mg/kg against *Escherichia coli* whereas cephalixin had 3.2. The LD<sub>50</sub> in mice of II after 24 h was >5000 mg/kg; that of cephalixin was 1600-4500 mg/kg.

IT 80825-80-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of)

RN 80825-80-5 HCAPLUS

CN Ethanedioic acid, monomethyl ester, 2-(aminothioxomethyl)-2-methylhydrazide (9CI) (CA INDEX NAME)



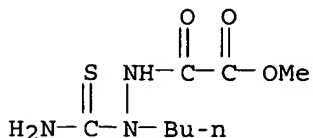
IT 86694-42-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and cyclization of)

RN 86694-42-0 HCAPLUS



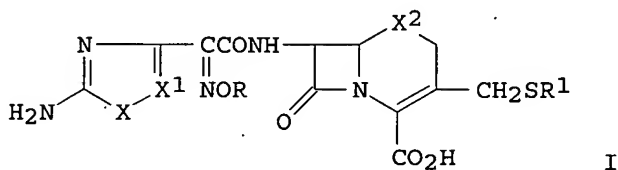
CN Ethanedioic acid, monomethyl ester, 2-(aminothioxomethyl)-2-butylhydrazide  
(9CI) (CA INDEX NAME)



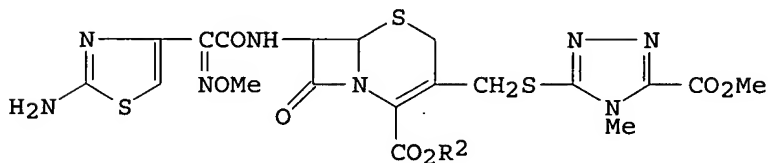
L25 ANSWER 28 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1983:470461 HCAPLUS  
 DOCUMENT NUMBER: 99:70461  
 TITLE: Cephalosporin derivatives **pharmaceutical**  
 compositions containing them and their intermediates  
 INVENTOR(S): Montavon, Marc; Reiner, Roland  
 PATENT ASSIGNEE(S): Hoffmann-La Roche, F., und Co. A.-G., Switz.  
 SOURCE: Eur. Pat. Appl., 48 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 75104	A2	19830330	EP 1982-107311	19820812 <--
EP 75104	A3	19841128		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8203964	A	19830324	DK 1982-3964	19820903 <--
ZA 8206816	A	19830727	ZA 1982-6816	19820916 <--
AU 8288511	A1	19830331	AU 1982-88511	19820917 <--
JP 58065284	A2	19830418	JP 1982-164161	19820922 <--
PRIORITY APPLN. INFO.:			CH 1981-6139	A 19810923
			CH 1982-4599	A 19820729

GI



I



II

AB Cephalosporins I (X = S, Se; X1 = CH, N; X2 = S, O, SO, SO2; R = H, Me, carboxyalkyl; R1 = carboxytriazolyl) were prepared. Thus H2NNHCSNHMe was treated with MeO2CCO2Me to give Me 5-mercapto-4-methyl-1,2,4-triazole-3-carboxylate which was treated with 7-aminocephalosporanic acid to give the

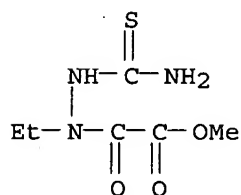
heterocyclylthiomethylcephem. The latter compds. was converted to its silyl ester and treated with BrCH<sub>2</sub>COC(:NOMe)COCl and thiourea to give II (R<sub>2</sub> = Na). This salt was treated with Me<sub>3</sub>CCO<sub>2</sub>CH<sub>2</sub>I to give II (R<sub>2</sub> = CH<sub>2</sub>O<sub>2</sub>CCMe<sub>3</sub>) which had an oral ED<sub>50</sub> against Escherichia coli in mice 0.11 mg/kg.

IT 86619-92-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclization of)

RN 86619-92-3 HCAPLUS

CN Ethanedioic acid, monomethyl ester, 2-(aminothioxomethyl)-1-ethylhydrazide (9CI) (CA INDEX NAME)



L25 ANSWER 29 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1982:423523 HCAPLUS

DOCUMENT NUMBER: 97:23523

TITLE: 3-Thiovinylcephalosporins and medicines containing them

INVENTOR(S): Farge, Daniel; Le Roy, Pierre; Moutonnier, Claude; Peyronnel, Jean Francois

PATENT ASSIGNEE(S): Rhone-Poulenc Industries S. A., Fr.

SOURCE: Fr. Demande, 131 pp. Addn. to Fr. Appl. No. 79 13095.  
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

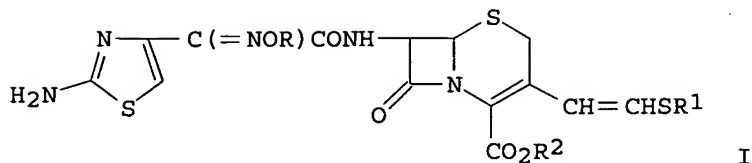
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

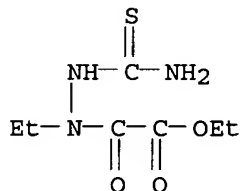
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FR 2482598	A2	19811120	FR 1980-10702	19800513 <--
FR 2482598	B2	19830429		
FR 2474504	A1	19810731	FR 1979-13095	19790523 <--
FR 2474504	B1	19830311		
AU 8058596	A1	19801127	AU 1980-58596	19800521 <--
AU 534807	B2	19840216		
ZA 8003037	A	19810527	ZA 1980-3037	19800521 <--
SU 1037842	A3	19830823	SU 1980-2984450	19800925 <--
AT 8105421	A	19830915	AT 1981-5421	19811217 <--
AT 374480	B	19840425		
AT 8105423	A	19830915	AT 1981-5423	19811217 <--
AT 374482	B	19840425		

PRIORITY APPLN. INFO.:  
 FR 1979-13095 A 19790523  
 FR 1979-27687 A 19791109  
 FR 1980-978 A 19800117  
 AT 1980-2708 A 19800521

GI



- AB I [R = H, alkyl, CH:CH<sub>2</sub>, CH<sub>2</sub>CN; R<sub>1</sub> = alkyl, L-H<sub>2</sub>NCH(CO<sub>2</sub>H)CH<sub>2</sub>, Ph, pyridazinyl, tetrazolo[4,5-d]pyridazinyl, dioxotetrahydrotriazinyl, triazolyl, thiadiazolyl, tetrazolyl, pyrimidinyl, oxadiazolyl; R<sub>2</sub> = H, CHR<sub>3</sub>OR<sub>4</sub> (R<sub>3</sub> = H, alkyl; R<sub>4</sub> = alkyl, cyclohexyl)] were prepared and they are useful as bactericides (no data, a formulation is given). 2-Benzhydryloxycarbonyl-7-[2-methoxyimino-2-(2-tritylamino-4-thiazolyl)acetamido]-8-oxo-3-(2-tosyloxyvinyl)-5-thia-1-azabicyclo[4.2.0]oct-2-ene was treated with 2-mercaptopyrimidine and the product was deprotected (aqueous HCO<sub>2</sub>H) to give I (R = Me, R<sub>1</sub> = 2-pyrimidinyl, R<sub>2</sub> = H).
- IT 81931-14-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and cyclocondensation reaction of)
- RN 81931-14-8 HCAPLUS
- CN Ethanedioic acid, monoethyl ester, [2-(aminothioxomethyl)-1-ethylhydrazide] (9CI) (CA INDEX NAME)



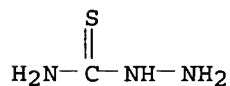
L25 ANSWER 30 OF 30 HCAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1955:36145 HCAPLUS  
 DOCUMENT NUMBER: 49:36145  
 ORIGINAL REFERENCE NO.: 49:6997i,6998a-c  
 TITLE: Aralkylthiosemicarbazides  
 INVENTOR(S): Mietzsch, Fritz  
 PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 824057		19511210	DE	<--

AB Thiosemicarbazones of the general formula RCR':NNHCSNH<sub>2</sub>, where R indicates a (possibly substituted) aromatic residue and R' = H or an organic radical, are reduced to aralkylsemicarbazides, RCHR'NHNHCSNH<sub>2</sub> (I), which can be converted to products of the formula RCHR'N(acyl)NHCSNH, by acylation. The products have valuable tuberculostatic properties. PhCH:NNHCSNH<sub>2</sub> 50 g. in EtOH 850 cc. and water 120 cc. boiled 6 h. with 4% Na-Hg gives 750 g. (almost quant. yield) PhCH<sub>2</sub>NHNHCSNH<sub>2</sub> (II), m. 151-2° (from

EtOH), on working up. PhCH<sub>2</sub>NHCSNH<sub>2</sub>, m. 188-9°, is prepared by acylating II with Ac<sub>2</sub>O; succinoyl analog, m. 148-50°, from II and succinic anhydride. The following I (RCHR' and m.p. given) are similarly prepared: p-Me<sub>2</sub>CHC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 130-1° (from EtOH); p-MeC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, about 120°; 3,4-Me<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, about 120°; p-ClC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 161-2° (from EtOH); PhCH<sub>2</sub>Et, 146°; PhCHMe, 156-7°; p-MeOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub> (III), 141-2° [N1-Ac derivative, 199-200°; N1-HO<sub>2</sub>CCH<sub>2</sub>CH<sub>2</sub>CO derivative, 191° (foaming); N1-HO<sub>2</sub>CC<sub>5</sub>H<sub>3</sub>NCO derivative, pale yellow crystals, from III and quinolinic anhydride]; p-PhCH<sub>2</sub>OC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, snow-white crystals, 160-1°; 3,4-(MeO)<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, 159°; p-Me<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 143-4°; p-AcNHC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, snow-white needles, m. 215° (decomposition); m-HO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 185°; p-HO<sub>2</sub>CC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 220°; p-MeSC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, lustrous leaflets, 145-6°; p-EtSO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 152-3°; p-Me<sub>2</sub>NSO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 285°; PhCH(CO<sub>2</sub>H), 254° (foaming); 3,4-Cl<sub>2</sub>C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>, 136°; PhCH<sub>2</sub>CHPh, 159°.

IT 79-19-6, Semicarbazide, thio-  
 (derivs., in tuberculosis therapy)  
 RN 79-19-6 HCAPLUS  
 CN Hydrazinecarbothioamide (9CI) (CA INDEX NAME)



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